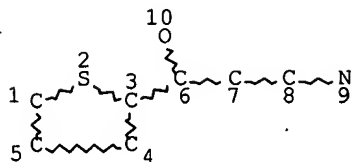


=> d que 138

L9 STR



NODE ATTRIBUTES:

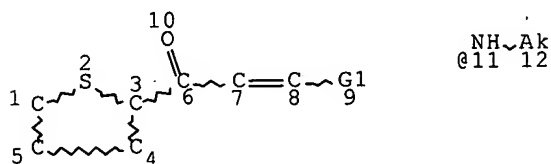
NSPEC IS RC AT 7
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I
 NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE

L11 2111 SEA FILE=REGISTRY SSS FUL L9
 L23 STR



VAR G1=NH2/11

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I
 NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L26 54 SEA FILE=REGISTRY SUB=L11 SSS FUL L23
 L27 40 SEA FILE=HCAPLUS ABB=ON PLU=ON L26
 L28 36 SEA FILE=HCAPLUS ABB=ON PLU=ON L27 AND PREP/RL
 L29 78 SEA FILE=HCAPLUS ABB=ON PLU=ON KOGAMI, N?/AU
 L30 5 SEA FILE=HCAPLUS ABB=ON PLU=ON HAYASHIZAKA, N?/AU
 L31 421 SEA FILE=HCAPLUS ABB=ON PLU=ON SATAKE, S?/AU
 L32 2 SEA FILE=HCAPLUS ABB=ON PLU=ON FUSEYA, I?/AU
 L33 37 SEA FILE=HCAPLUS ABB=ON PLU=ON KAGANO, H?/AU
 L34 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L29 AND L30 AND L31 AND
 L32 AND L33
 L35 1 SEA FILE=HCAPLUS ABB=ON PLU=ON ((L29 OR L30 OR L31 OR
 L32 OR L33)) AND L27
 L36 4 SEA FILE=HCAPLUS ABB=ON PLU=ON ((L29 OR L30 OR L31 OR
 L32 OR L33)) AND THIENYL?

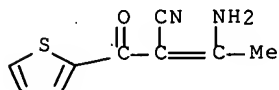
10/523,287

L37 4 SEA FILE=HCAPLUS ABB=ON PLU=ON L34 OR L35 OR L36
L38 35 SEA FILE=HCAPLUS ABB=ON PLU=ON L28 NOT L37

=> d l38 1-35 ibib ed abs hitstr hitind

YOU HAVE REQUESTED DATA FROM FILE 'HCAPLUS' - CONTINUE? (Y)/N:y

L38 ANSWER 1 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:474520 HCAPLUS Full-text
DOCUMENT NUMBER: 146:45422
TITLE: Synthesis of substituted isoxazolones and
isoxazoles from cyanoenaminones
AUTHOR(S): Mahalanabis, Kumar K.; Chowdhury, S. K. Dutta;
Sarkar, Mili; Misra, Manisha
CORPORATE SOURCE: Department of Chemistry, Jadavpur University,
Kolkata, 700 032, India
SOURCE: Journal of Chemical Research (2006), (2), 78-80
CODEN: JCROA4
PUBLISHER: Science Reviews
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 22 May 2006
AB α -Cyano- β -enaminones, obtained by regioselective acylation of β -
aminocrotononitrile, are smoothly and regiospecifically converted into
substituted 5-isoxazolones, which on alkaline hydrolysis afford 4-acyl-3-
substituted-5-hydroxyisoxazoles in good to excellent yields.
IT 756531-35-8
(preparation of substituted isoxazolones and isoxazoles from
 α -cyano- β -enaminones and hydroxylamine hydrochloride)
RN 756531-35-8 HCAPLUS
CN 2-Thiophenepropanenitrile, α -(1-aminoethylidene)- β -oxo-
(9CI) (CA INDEX NAME)



CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
IT 1113-72-0 756531-29-0 756531-30-3 756531-32-5 756531-34-7
756531-35-8 756531-37-0 916612-48-1 916612-49-2
916612-50-5
(preparation of substituted isoxazolones and isoxazoles from
 α -cyano- β -enaminones and hydroxylamine hydrochloride)
REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L38 ANSWER 2 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1283908 HCAPLUS Full-text
DOCUMENT NUMBER: 144:170660
TITLE: One-pot conversion of β -aminocrotononitrile
to secondary enaminonitriles including chiral
ones. application to synthesis

AUTHOR(S): Chatterjee, A.; Mishra, M.; Chowdhury, S. K.
 Dutta; Mahalanabis, Kumar K.
 CORPORATE SOURCE: Department of Chemistry, Jadavpur University,
 Kolkata, 700 032, India
 SOURCE: Canadian Journal of Chemistry (2005), 83(8),
 1164-1170
 CODEN: CJCHAG; ISSN: 0008-4042
 PUBLISHER: National Research Council of Canada
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 144:170660
 ED Entered STN: 08 Dec 2005

AB A highly efficient one-pot conversion of β -aminocrotononitrile to secondary enaminonitriles including chiral ones is described. In contrast to β -aminocrotononitrile, some of these N-substituted β -enaminonitriles on reacting with acid chlorides show a unique preference for C-terminal selection allowing preparation of pyrazoles without separation of regioisomers. In addition, use of secondary enaminonitriles also provided access to pyrazoles that are not obtainable with primary enaminonitriles owing to an exclusive preference for N-terminal selection.

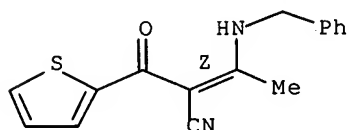
IT 874272-58-9P

(acylation of benzylaminocrotononitrile with acid chloride)

RN 874272-58-9 HCAPLUS

CN 2-Thiophenepropanenitrile, β -oxo- α -[1-
 [(phenylmethyl)amino]ethylidene]-, (α Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



CC 23-19 (Aliphatic Compounds)

IT 874272-55-6P 874272-56-7P 874272-57-8P 874272-58-9P
 874272-59-0P

(acylation of benzylaminocrotononitrile with acid chloride)

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE
 RE FORMAT

L38 ANSWER 3 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1271087 HCAPLUS Full-text

DOCUMENT NUMBER: 144:170909

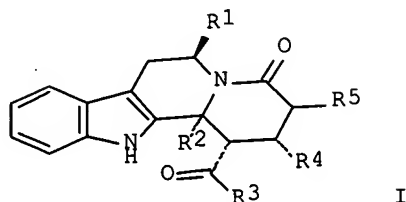
TITLE: A diversity oriented four-component approach to
 tetrahydro- β -carboline initiated by
 Sonogashira coupling

AUTHOR(S): Karpov, Alexei S.; Rominger, Frank; Mueller,
 Thomas J. J.

CORPORATE SOURCE: Organisch-Chemisches Institut der
 Ruprecht-Karls-Universitaet Heidelberg,
 Heidelberg, D-69120, Germany

SOURCE: Organic & Biomolecular Chemistry (2005), 3(24),
 4382-4391
 CODEN: OBCRAK; ISSN: 1477-0520

PUBLISHER: Royal Society of Chemistry
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 144:170909
 ED Entered STN: 05 Dec 2005
 GI



AB A consecutive four-component synthesis of highly-substituted tetrahydro- β -carbolines I [R1 = H, MeO₂C; R2 = H, n-Bu, Ph, Me₃CSiMe₂OCH₂; R3 = Me₂CH, 2-thienyl, 4-O₂NC₆H₄, 4-MeOC₆H₄, 1-phenylsulfonyl-3-indolyl; R4, R5 = H, Me] can be achieved by a coupling-amination-aza-annulation-Pictet-Spengler (CAAPS) sequence creating five new σ -bonds and four new stereocenters in a one-pot fashion. The structures were unambiguously supported by X-ray structure analyses.

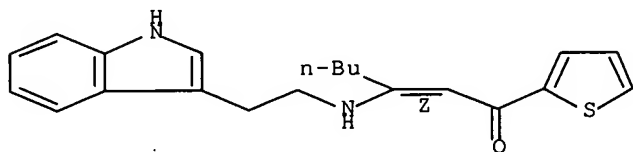
IT 874634-31-8P

(stereoselective preparation of functionalized tetrahydro- β -carbolines by Sonogashira coupling-initiated four-component coupling of aroyl chlorides, α -alkynes, indolyl amines and α,β -unsatd. acyl chlorides and their crystal structures)

RN 874634-31-8 HCAPLUS

CN 2-Hepten-1-one, 3-[[2-(1H-indol-3-yl)ethyl]amino]-1-(2-thienyl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))
 Section cross-reference(s): 75

IT 874634-31-8P

(stereoselective preparation of functionalized tetrahydro- β -carbolines by Sonogashira coupling-initiated four-component coupling of aroyl chlorides, α -alkynes, indolyl amines and α,β -unsatd. acyl chlorides and their crystal structures)

REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 4 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:1037091 HCAPLUS Full-text

DOCUMENT NUMBER: 142:23180

TITLE: Process for producing optically active
N-monoalkyl-3-hydroxy-3-arylpropylamine compound
and intermediateINVENTOR(S): Iwakura, Kazunori; Higashii, Takayuki; Bando,
Seiji

PATENT ASSIGNEE(S): Sumitomo Seika Chemicals Co. Ltd., Japan

SOURCE: PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

applicant

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004103990	A1	20041202	WO 2004-JP6602	20040511
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2004346008	A	20041209	JP 2003-144742	20030522
PRIORITY APPLN. INFO.:			JP 2003-144742	A 20030522

OTHER SOURCE(S): CASREACT 142:23180; MARPAT 142:23180

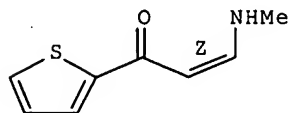
ED Entered STN: 03 Dec 2004

AB There is provided a process for producing an optically active N-monoalkyl-3-oxo-3-arylpropylamine compound represented by the formula $\text{ArC}^*\text{H}(\text{OH})\text{CH}_2\text{CH}_2\text{NHR}_1$ (wherein symbol * indicates an asym. carbon atom; R1 represents optionally substituted C1-5 alkyl; Ar represents optionally substituted aryl or heteroaryl) characterized by asym. reducing a (Z)-protected-N-monoalkyl-3-oxo-3-arylpropenylamine compound represented by the formula (Z)- $\text{ArCOCH}:\text{CHNR}_1\text{R}_2$ (wherein Ar and R1 are same as defined above; R2 represents an amino-protecting group) with an asym. catalyst to give an optically active compound represented by the formula $\text{ArC}^*\text{H}(\text{OH})\text{CH}_2\text{CH}_2\text{NR}_1\text{R}_2$ (wherein the symbol *, Ar, R1, and R2 are same as defined above) and successively eliminating the protective group (R2). Thus, 16.7 g (Z)-N-methyl-3-oxo-3-(2-thienyl)propenylamine was acylated by 16.4 g iso-Bu chlorocarbonate in the presence of 1.2 g 4-dimethylaminopyridine and 12.1 g Et₃N in 200 mL tert-Bu Me ether at 50° for 28 h to give 22.0 g N-methyl-N-isobutoxycarbonyl-[(Z)-3-oxo-3-(2-thienyl)propenyl]amine (I). I (33.8 mg) was stirred in 2-propanol in the presence of potassium tert-butoxide and 2.3 mg [(S)-N-phenyl-2-azetidinecarboxamide]ruthenium(p-cymene) chloride (REG 543689-61-8) at 80° for 4 h to give 84% N-methyl-N-isobutoxycarbonyl-3-hydroxy-3-(2-thienyl)propylamine which (114.8 mg) was treated with a mixture of 0.2 g 30 weight% aqueous NaOH and 5 mL 2-propanol at 30° for 24 h to give N-methyl-3-hydroxy-3-(2-thienyl)propylamine (50% ee).

IT 663603-70-1, N-Methyl-[(Z)-3-oxo-3-(2-thienyl)propenyl]amine
 (preparation of optically active N-monoalkyl-3-hydroxy-3-arylpropylamine compound by asym. reduction of aminovinyl aryl or heteroaryl ketone and

deprotection)
 RN 663603-70-1 HCAPLUS
 CN 2-Propen-1-one, 3-(methylamino)-1-(2-thienyl)-, (2Z)- (9CI) (CA INDEX NAME)

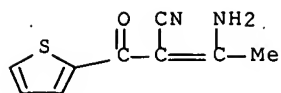
Double bond geometry as shown.



IC ICM C07D333-20
 ICS C07B053-00; C07M007-00
 CC 27-8 (Heterocyclic Compounds (One Hetero Atom))
 IT 543-27-1, Isobutyl chlorocarbonate 663603-70-1,
 N-Methyl-[(Z)-3-oxo-3-(2-thienyl)propenyl]amine
 (preparation of optically active N-monoalkyl-3-hydroxy-3-arylpropylamine
 compound by asym. reduction of aminovinyl aryl or heteroaryl ketone and
 deprotection)

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE
 RE FORMAT

L38 ANSWER 5 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:574585 HCAPLUS Full-text
 DOCUMENT NUMBER: 141:260612
 TITLE: Synthesis of novel 3,5-disubstituted-4-
 isothiazolecarbonitriles
 AUTHOR(S): Mishra, Manisha; Dutta Chowdhury, S. K.;
 Mahalanabis, Kumar K.
 CORPORATE SOURCE: Department of Chemistry, Jadavpur University,
 Kolkata, India
 SOURCE: Synthetic Communications (2004), 34(14), 2681-2689
 CODEN: SYNCAV; ISSN: 0039-7911
 PUBLISHER: Marcel Dekker, Inc.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 141:260612
 ED Entered STN: 19 Jul 2004
 AB α -Cyano- β -enaminones, obtained by regioselective acylation of β -
 enaminonitriles, were smoothly converted to thiones which on oxidative
 cyclization afforded 3,5-disubstituted-4- isothiazolecarbonitriles in good to
 excellent yields.
 IT 756531-35-8
 (preparation of 3,5-disubstituted-4-isothiazolecarbonitriles starting
 from α -cyano- β -enaminones via oxidative cyclization of
 thiones)
 RN 756531-35-8 HCAPLUS
 CN 2-Thiophenepropanenitrile, α -(1-aminoethylidene)- β -oxo-
 (9CI) (CA INDEX NAME)



CC 28-7 (Heterocyclic Compounds (More Than One Hetero Atom))
 IT 1113-72-0 33831-49-1 223469-39-4 756531-29-0 756531-30-3
 756531-31-4 756531-32-5 756531-33-6 756531-34-7
 756531-35-8 756531-36-9 756531-37-0
 (preparation of 3,5-disubstituted-4-isothiazolecarbonitriles starting
 from α -cyano- β -enaminones via oxidative cyclization of
 thiones)

REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE
 RE FORMAT

L38 ANSWER 6 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:326179 HCAPLUS Full-text
 DOCUMENT NUMBER: 140:339187
 TITLE: Preparation of optically active amino alcohols by
 asymmetric hydrogenation of enaminones.
 INVENTOR(S): Yokozawa, Tohru; Yagi, Kenji; Saito, Takao
 PATENT ASSIGNEE(S): Japan
 SOURCE: Eur. Pat. Appl., 23 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1411045	A1	20040421	EP 2003-23628	20031016
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2004155770	A	20040603	JP 2003-339801	20030930
US 2004082794	A1	20040429	US 2003-686598	20031017
<u>US 6984738</u>	B2	20060110		
PRIORITY APPLN. INFO.:			JP 2002-305147	A 20021018

OTHER SOURCE(S): MARPAT 140:339187

ED Entered STN: 22 Apr 2004

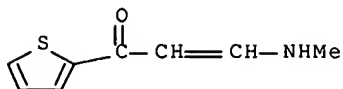
AB Optically active R1CH(OH)CHR2CHR3NHR4 [R1 = (substituted) hydrocarbyl, heteroaryl, heterocyclyl; R2, R3 = H, (substituted) hydrocarbyl, acyl, acyloxy, alkoxycarbonyl, aralkoxycarbonyl, aryloxycarbonyl, heteroaryl, heterocyclyl; R4 = H, protecting group; ≥ 2 of R1-R4 may be bonded to each other to form a ring; with provisos], were prepared by asym. hydrogenation of cis- or trans-R1COCR2:CR3NHR4 (variables as above). Thus, 3-methylamino-1-thiophen-2-ylpropenone, RuCl2[(R)-DM-binap][(R)-daipen] [DM-binap = 2,2'-bis[bis(3,5-dimethylphenyl)phosphino]-1,1'-binaphthyl; daipen = 1,2-di(4-anisyl)-2-isopropyl-1,2-ethylenediamine], and K2CO3 in Me2CHOH were autoclaved under 2.5 MPa H2 at 30° for 18 h to give 79.2% (S)-3-methylamino-1-(2-thienyl)propan-1-ol.

IT 680193-02-6

(preparation of optically active amino alcs. by asym. hydrogenation of enaminones)

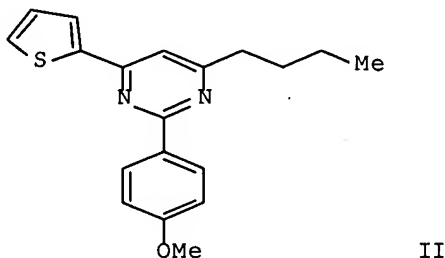
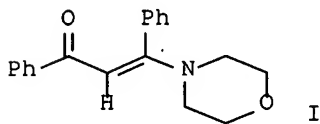
RN 680193-02-6 HCAPLUS

CN 2-Propen-1-one, 3-(methyamino)-1-(2-thienyl)- (9CI) (CA INDEX NAME)



IC ICM C07C213-00
ICS C07D333-20
CC 27-8 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 25
IT 877-50-9 680193-02-6
(preparation of optically active amino alcs. by asym. hydrogenation of enaminones)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 7 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:9866 HCAPLUS Full-text
DOCUMENT NUMBER: 140:181405
TITLE: Straightforward novel one-pot enaminone and pyrimidine syntheses by coupling-addition-cyclocondensation sequences
AUTHOR(S): Karpov, Alexei S.; Mueller, Thomas J. J.
CORPORATE SOURCE: Organisch-Chemisches Institut der Ruprecht-Karls-Universitaet Heidelberg, Heidelberg, 69120, Germany
SOURCE: Synthesis (2003), (18), 2815-2826
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:181405
ED Entered STN: 07 Jan 2004
GI



AB One-pot, three-component syntheses of enaminones, e.g., I, and pyrimidines, e.g., II, are reported. The coupling of acid chlorides with terminal alkynes, under modified Sonogashira conditions, followed by addition of primary or secondary amines gave enaminones in excellent yield. 2,4-Di- and 2,4,6-

trisubstituted pyrimidines were synthesized, in moderate to good yields, by a one-pot coupling-addition- cyclocondensation sequence of acid chlorides, terminal alkynes and amidine salts.

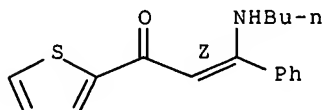
IT 658699-76-4P 658699-77-5P 658699-78-6P

(stereoselective preparation of enaminones via Sonogashira coupling of acid chlorides with terminal alkynes followed by stereoselective conjugate addition of amines)

RN 658699-76-4 HCAPLUS

CN 2-Propen-1-one, 3-(butylamino)-3-phenyl-1-(2-thienyl)-, (2Z)- (9CI)
(CA INDEX NAME)

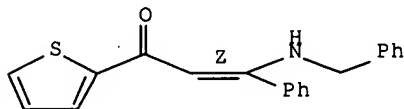
Double bond geometry as shown.



RN 658699-77-5 HCAPLUS

CN 2-Propen-1-one, 3-phenyl-3-[(phenylmethyl)amino]-1-(2-thienyl)-, (2Z)- (9CI) (CA INDEX NAME)

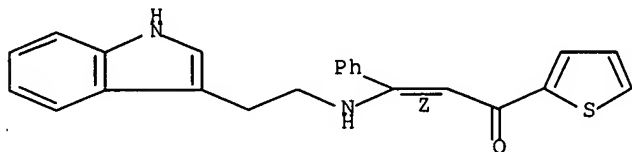
Double bond geometry as shown.



RN 658699-78-6 HCAPLUS

CN 2-Propen-1-one, 3-[[2-(1H-indol-3-yl)ethyl]amino]-3-phenyl-1-(2-thienyl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 23674-58-0P 70008-81-0P 145799-91-3P 658699-71-9P 658699-72-0P

658699-73-1P 658699-74-2P 658699-75-3P 658699-76-4P

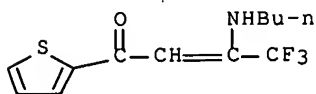
658699-77-5P 658699-78-6P

(stereoselective preparation of enaminones via Sonogashira coupling of acid chlorides with terminal alkynes followed by stereoselective conjugate addition of amines)

REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE

RE FORMAT

L38 ANSWER 8 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2003:110371 HCAPLUS Full-text
 DOCUMENT NUMBER: 140:27448
 TITLE: Gold catalysis in the reaction of 1,3-dicarbonyls
 with nucleophiles
 AUTHOR(S): Arcadi, A.; Bianchi, G.; Di Giuseppe, S.;
 Marinelli, F.
 CORPORATE SOURCE: Dipartimento di Chimica Ingegneria Chimica,
 Materiali della Facolta di Scienze, Universita
 degli Studi dell'Aquila, L'Aquila, 67100, Italy
 SOURCE: Green Chemistry (2003), 5(1), 64-67
 CODEN: GRCHFJ; ISSN: 1463-9262
 PUBLISHER: Royal Society of Chemistry
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 140:27448
 ED Entered STN: 13 Feb 2003
 AB Environmentally friendly, efficient Au(III)-catalyzed synthesis of β -
 enaminones from 1,3-dicarbonyl compds. and amines is reported. The method is
 extended to include reaction of cyclic 1,3-dicarbonyls with O-, P- and S-
 nucleophiles.
 IT 634195-90-7P
 (preparation by dicarbonyl compound amination using NaAuCl₄ as catalyst)
 RN 634195-90-7 HCAPLUS
 CN 2-Buten-1-one, 3-(butylamino)-4,4,4-trifluoro-1-(2-thienyl)- (9CI)
 (CA INDEX NAME)



CC 21-2 (General Organic Chemistry)
 IT 1118-66-7P 1128-85-4P 4531-60-6P, 4-Morpholino-3-penten-2-one
 5220-49-5P, 3-Amino-2-cyclohexen-1-one 15424-17-6P 66894-73-3P
 128942-78-9P 634195-85-0P 634195-88-3P 634195-90-7P
 (preparation by dicarbonyl compound amination using NaAuCl₄ as catalyst)
 REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE
 RE FORMAT

L38 ANSWER 9 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2002:767112 HCAPLUS Full-text
 DOCUMENT NUMBER: 138:169596
 TITLE: Studies on β -enaminonitriles: Part IV -
 reaction of β -enaminonitriles with acid
 chlorides
 AUTHOR(S): Mahalanabis, Kumar K.; Sarkar, Mili; Chowdhury, S.
 K. Dutta; Ghosal, C. R.
 CORPORATE SOURCE: Department of Chemistry, Jadavpur University,
 Kolkata, 700 032, India
 SOURCE: Indian Journal of Chemistry, Section B: Organic
 Chemistry Including Medicinal Chemistry (2002),
 41B(9), 1902-1906

CODEN: IJSBDB; ISSN: 0376-4699

PUBLISHER: National Institute of Science Communication
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 138:169596
 ED Entered STN: 09 Oct 2002

AB Acylation of β -aminocrotonitrile with saturated acid chlorides in the presence of pyridine produces highly contrasting results. Thus, acylation with saturated straight-chain aliphatic acid chlorides shows exclusive preference for C-acylation whereas branched-chain acid chlorides shows a complete reversal of site selection giving only the corresponding N-acylated products. However, with aromatic acid chlorides no such clear-cut preference for regioselection has been observed. The position as well as the nature of the substituents are found to be critical in determining the site of acylation. With heteroarom. acid chlorides the regioselection is found to be dependent on the nature of the heteroatom present in the ring.

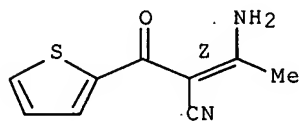
IT 497084-26-1P

(C-acylation and N-acylation of β -enaminonitrile with acid chlorides)

RN 497084-26-1 HCAPLUS

CN 2-Thiophenepropanenitrile, α -(1-aminoethylidene)- β -oxo-,
 (α Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



CC 21-2 (General Organic Chemistry)

IT 27036-88-0P 497084-15-8P 497084-16-9P 497084-17-0P
 497084-18-1P 497084-19-2P 497084-20-5P 497084-21-6P
 497084-22-7P 497084-23-8P 497084-24-9P 497084-25-0P
 497084-26-1P 497084-27-2P 497084-28-3P 497084-29-4P
 497084-30-7P 497084-31-8P 497084-32-9P 497084-33-0P
 497084-34-1P 497084-35-2P 497084-36-3P 497084-37-4P
 497084-38-5P 497084-39-6P 497084-40-9P 497084-41-0P
 497084-42-1P 497084-43-2P

(C-acylation and N-acylation of β -enaminonitrile with acid chlorides)

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE
 RE FORMAT

L38 ANSWER 10 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:753159 HCAPLUS Full-text

DOCUMENT NUMBER: 134:56649

TITLE: Reactions of β -amino- β -polyfluoroalkylvinyl ketones with diethylenetriamine. Simple synthesis of 1,4,8-triazabicyclo[5.3.0]dec-4-ene derivatives
 AUTHOR(S): Sosnovskikh, V. Ya.; Kutsenko, V. A.; Yatluk, Yu. G.

CORPORATE SOURCE: A. M. Gorky Ural State University, Yekaterinburg,
 620083, Russia

SOURCE: Russian Chemical Bulletin (Translation of
Izvestiya Akademii Nauk, Seriya Khimicheskaya)
(2000), 49(8), 1426-1429
CODEN: RCBUEY; ISSN: 1066-5285

PUBLISHER: Consultants Bureau

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:56649

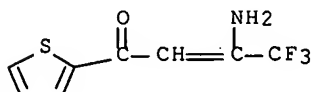
ED Entered STN: 26 Oct 2000

AB β -Amino- β -polyfluoroalkylvinyl aryl(hetaryl) ketones react with
diethylenetriamine to form derivs. of a new 1,4,8- triazabicyclo[5.3.0]dec-4-
ene system.

IT 70204-09-0 76165-57-6
(reactions of β -amino- β -polyfluoroalkylvinyl ketones with
diethylenetriamine)

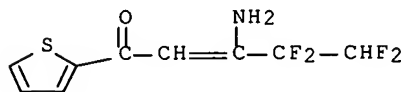
RN 70204-09-0 HCAPLUS

CN 2-Buten-1-one, 3-amino-4,4,4-trifluoro-1-(2-thienyl)- (9CI) (CA INDEX
NAME)



RN 76165-57-6 HCAPLUS

CN 2-Penten-1-one, 3-amino-4,4,5,5-tetrafluoro-1-(2-thienyl)- (9CI) (CA
INDEX NAME)



CC 28-21 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 111-40-0, Diethylenetriamine 70168-22-8 70204-09-0
76165-57-6 77855-06-2 80070-76-4 80070-77-5 80070-78-6
80070-79-7 313988-21-5 313988-22-6 313988-23-7
(reactions of β -amino- β -polyfluoroalkylvinyl ketones with
diethylenetriamine)

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L38 ANSWER 11 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:62202 HCAPLUS Full-text

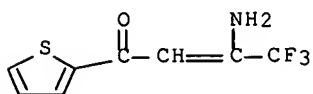
DOCUMENT NUMBER: 132:237031

TITLE: Reactions of tetrasulfur tetranitride antimony
pentachloride complex (S₄N₄ SbCl₅) with primary
 β -enaminones and β -enamino esters:
Synthesis of 4-substituted 3-aryl- and
3-ethoxycarbonyl-1,2,5-thiadiazoles

AUTHOR(S): Bae, Su-Hak; Kim, Kyongtae; Park, Young Ja

CORPORATE SOURCE: Department of Chemistry, Seoul National

SOURCE: University, Seoul, 151-742, S. Korea
 Heterocycles (2000), 53(1), 159-172
 CODEN: HTCYAM; ISSN: 0385-5414
 PUBLISHER: Japan Institute of Heterocyclic Chemistry
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 132:237031
 ED Entered STN: 26 Jan 2000
 AB The reaction of S4N4.SbCl5 with 3-amino-3-alkyl-1-aryl-2-propenones and 3-amino-1,3-diaryl-2-propenones in toluene at 100° produced 4-substituted 3-aryl-1,2,5-thiadiazoles in 12-57% yield. Similarly treatment of β -enamino esters with S4N4.SbCl5 under the same conditions gave 3-aryl-1,2,5-thiadiazole-4-carboxylates in 41-54% yield. The formation of the products may be explained by the same mechanism as that proposed for the formation of 1,2,5-thiadiazoles from 5-substituted 3-alkyl- and 3-aryl-isoxazoles and S4N4.SbCl5.
 IT 70204-09-0
 (preparation of thiadiazoles by reaction of sulfur nitride-antimony chloride complex with primary β -enaminones and β -enamino esters)
 RN 70204-09-0 HCAPLUS
 CN 2-Buten-1-one, 3-amino-4,4,4-trifluoro-1-(2-thienyl)- (9CI) (CA INDEX NAME)



CC 28-10 (Heterocyclic Compounds (More Than One Hetero Atom))
 IT 1128-85-4 6288-56-8 14088-42-7 14274-66-9, Tetrasulfur
 tetranitride antimony pentachloride complex 33831-72-0 70168-22-8
 70204-09-0 90788-35-5 90956-79-9 91108-05-3
 136757-04-5 143253-14-9 212761-76-7 261730-42-1 261730-43-2
 261730-44-3 261730-45-4 261730-46-5 261730-47-6 261730-48-7
 261730-49-8 261730-50-1 261730-51-2 261730-55-6
 (preparation of thiadiazoles by reaction of sulfur nitride-antimony chloride complex with primary β -enaminones and β -enamino esters)
 REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 12 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2000:17437 HCAPLUS Full-text
 DOCUMENT NUMBER: 132:166176
 TITLE: Reactions of 3-amino-1-phenyl- and 3-amino-1-(2-thienyl)-4,4,4-trifluorobut-2-en-1-ones with 1,2-diaminopropane and 1,2-diamino-3,3,3-trifluoropropane
 AUTHOR(S): Sosnovskikh, V. Ya.; Kutsenko, V. A.; Aizikovich, A. Ya.; Korotaev, V. Yu.
 CORPORATE SOURCE: A. M. Gorky Ural State University, Yekaterinburg, 620083, Russia
 SOURCE: Russian Chemical Bulletin (Translation of Izvestiya Akademii Nauk, Seriya Khimicheskaya)

10/523,287

(1999), 48(11), 2112-2116
CODEN: RCBUEY; ISSN: 1066-5285

PUBLISHER: Consultants Bureau
DOCUMENT TYPE: Journal
LANGUAGE: English

ED Entered STN: 09 Jan 2000

AB The reactions of 3-amino-1-phenyl- and 3-amino-1-(2-thienyl)-4,4,4-trifluorobut-2-en-1-ones with 1,2-diaminopropane under kinetically controlled conditions afford mixts. of cis and trans isomers of 2-aroylemethyl-4-methyl-2-trifluoromethylimidazolidines. Analogous reactions with 1,2-diamino-3,3,3-trifluoropropane yield cis-2-aroylemethyl-2,4-bis(trifluoromethyl)imidazolidines.

IT 259138-20-0P 259138-30-2P
(preparation of)

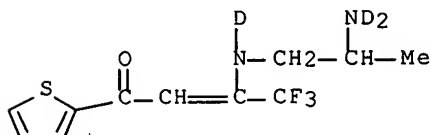
RN 259138-20-0 HCAPLUS

CN Acetic-d₃ acid-d, compd. with 3-[[2-(amino-d₂)propyl]amino-d]-4,4,4-trifluoro-1-(2-thienyl)-2-buten-1-one (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259138-19-7

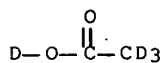
CMF C11 H10 D3 F3 N2 O S



CM 2

CRN 1186-52-3

CMF C2 D4 O2



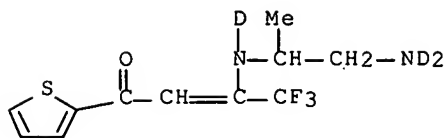
RN 259138-30-2 HCAPLUS

CN Acetic-d₃ acid-d, compd. with 3-[[2-(amino-d₂)-1-methylethyl]amino-d]-4,4,4-trifluoro-1-(2-thienyl)-2-buten-1-one (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259138-29-9

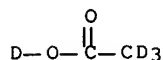
CMF C11 H10 D3 F3 N2 O S



CM 2

CRN 1186-52-3

CMF C2 D4 O2



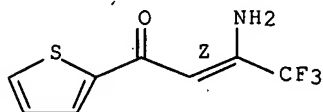
IT 240417-72-5

(reaction with propanediamine and trifluoropropanediamine)

RN 240417-72-5 HCAPLUS

CN 2-Buten-1-one, 3-amino-4,4,4-trifluoro-1-(2-thienyl)-, (2Z)- (9CI)
(CA INDEX NAME)

Double bond geometry as shown.



CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 259138-14-2P 259138-16-4P 259138-18-6P 259138-20-0P
259138-21-1P 259138-22-2P 259138-24-4P 259138-25-5P
259138-26-6P 259138-28-8P 259138-30-2P
(preparation of)

IT 75840-25-4 240417-72-5

(reaction with propanediamine and trifluoropropanediamine)

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L38 ANSWER 13 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:661822 HCAPLUS Full-text

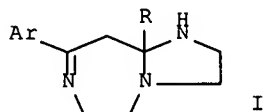
DOCUMENT NUMBER: 132:35682

TITLE: Simple synthesis of 1,4,8-triazabicyclo[5.3.0]dec-4-ene derivatives from β -amino- β -(polyfluoroalkyl)vinyl ketones and diethylenetriamine

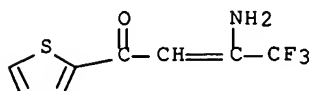
AUTHOR(S): Sosnovskikh, V. Ya.; Kutsenko, V. A.; Yatluk, Yu. G.

CORPORATE SOURCE: A. M. Gor'ky Ural State University, Yekaterinburg,

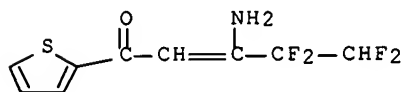
SOURCE: 620083, Russia
 Russian Chemical Bulletin (Translation of
 Izvestiya Akademii Nauk, Seriya Khimicheskaya)
 (1999), 48(7), 1395-1396
 CODEN: RCBUEY; ISSN: 1066-5285
 PUBLISHER: Consultants Bureau
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 132:35682
 ED Entered STN: 18 Oct 1999
 GI



AB Reaction of $\text{ArCOCH:C(NH}_2\text{)R}$ ($\text{Ar} = \text{Ph}$, 2-thienyl; $\text{R} = \text{CF}_3$, $\text{CF}_2\text{CF}_2\text{H}$) with
 diethylenetriamine gave triazabicyclo[5.3.0]dec-4-enes (I).
 IT 70204-09-0 76165-57-6
 (cyclocondensation with diethylenetriamine)
 RN 70204-09-0 HCAPLUS
 CN 2-Buten-1-one, 3-amino-4,4,4-trifluoro-1-(2-thienyl)- (9CI) (CA INDEX
 NAME)



RN 76165-57-6 HCAPLUS
 CN 2-Penten-1-one, 3-amino-4,4,5,5-tetrafluoro-1-(2-thienyl)- (9CI) (CA
 INDEX NAME)



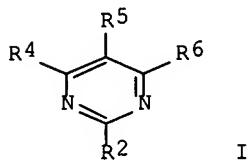
CC 28-21 (Heterocyclic Compounds (More Than One Hetero Atom))
 IT 70168-22-8 70204-09-0 76165-57-6 77855-06-2
 (cyclocondensation with diethylenetriamine)
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE
 RE FORMAT

L38 ANSWER 14 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1999:505664 HCAPLUS Full-text

DOCUMENT NUMBER: 131:144609
 TITLE: Preparation of pyrimidinecarboxylates and analogs
 as transcription factor activation inhibitors
 INVENTOR(S): Suto, Mark J.; Gayo, Leah M.; Palanki, Moorthy S.
 S.; Ransone-Fong, Lynn J.
 PATENT ASSIGNEE(S): Signal Pharmaceuticals, Inc., USA
 SOURCE: U.S., 32 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5935966	A	19990810	US 1997-807677	19970227
US 5852028	A	19981222	US 1995-574406	19951218
WO 9709325	A1	19970313	WO 1996-US14089	19960830
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
WO 9838171	A1	19980903	WO 1998-US3616	19980224
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9866667	A	19980918	AU 1998-66667	19980224
PRIORITY APPLN. INFO.:			US 1995-3109P	P 19950901
			US 1995-574406	A2 19951218
			WO 1996-US14089	W 19960830
			US 1997-807677	A 19970227
			WO 1998-US3616	W 19980224

OTHER SOURCE(S): MARPAT 131:144609
 ED Entered STN: 16 Aug 1999
 GI



AB Title compds. [I; 1 of R2, R4 = NRR9 and the other = H, halo, alkyl, aryl, etc.; R = (un)substituted phthalimido, -maleimido, etc.; R5 = CO2R7, COR8, 4-methyl-2-oxazolyl, etc.; R6 = H, F, Me, CF3, CH2Ph; R7 = H, (ar)alkyl, aryl,

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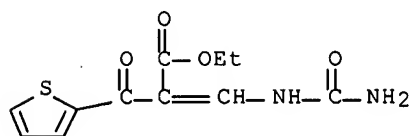
etc.; R8 = (ar)alkyl, aryl, etc.; R9 = (ar)alkyl, COZR7, etc.; Z = bond, O, NH] were prepared. Thus, EtCOCH2CO2Et was condensed with (H2N)2CO/HC(OEt)3 and the product cyclized to give, in 2 addnl. steps, I (R4 = Et, R5 = CO2Et, R6 = H) (II; R2 = NHH2) which was cyclocondensed with citraconic anhydride to give II [R2 = (methylmaleimido)amino]. Data for biol. activity of I were given.

IT 188936-16-5P

(preparation of pyrimidinecarboxylates and analogs as transcription factor activation inhibitors)

RN 188936-16-5 HCAPLUS

CN 2-Thiophenepropanoic acid, α -[[[aminocarbonyl)amino]methylene]- β -oxo-, ethyl ester (9CI) (CA INDEX NAME)



IC ICM C07D239-02

ICS A01N043-54

INCL 514275000

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 343-67-9P 571-55-1P 2134-36-3P 2924-82-5P 6214-64-8P
 6319-01-3P 14190-59-1P, 2-Thiazolecarboxylic acid 24755-82-6P
 53135-24-3P 55613-22-4P 56406-35-0P 62328-19-2P 64633-82-5P
 66373-46-4P 89793-12-4P 90794-84-6P 101251-42-7P 113271-89-9P
 139438-53-2P 149771-21-1P 162129-77-3P 162129-79-5P
 175137-28-7P 188781-04-6P 188781-06-8P 188781-08-0P
 188781-10-4P 188781-11-5P 188781-13-7P 188781-14-8P
 188781-20-6P 188781-22-8P 188781-49-9P 188781-50-2P
 188936-09-6P 188936-10-9P 188936-15-4P 188936-16-5P
 188936-17-6P 188936-18-7P 188936-19-8P 188936-20-1P
 188936-21-2P 188936-22-3P 188936-23-4P 188936-24-5P
 188936-35-8P 188936-37-0P 188936-39-2P 188936-41-6P
 188936-42-7P 188936-43-8P 188936-45-0P 188936-46-1P
 188936-47-2P 188936-48-3P 188936-49-4P 188936-58-5P
 188936-62-1P 188936-68-7P 188936-94-9P 188937-09-9P
 188937-11-3P 188937-12-4P 188937-13-5P 188937-14-6P
 188937-15-7P 188937-17-9P 188937-19-1P 188937-20-4P
 188937-21-5P 188937-22-6P 188937-26-0P 188937-28-2P
 188937-31-7P 188937-34-0P 188937-37-3P 188937-40-8P
 188937-42-0P 188937-48-6P 188937-50-0P 188937-70-4P
 188937-71-5P 188937-72-6P 188937-75-9P 206360-12-5P
 212621-30-2P 212621-35-7P 212621-39-1P 212621-42-6P
 212621-45-9P 212621-46-0P 212621-49-3P 212621-53-9P
 212621-55-1P 212621-58-4P 212621-63-1P 212621-66-4P
 212621-67-5P 212621-68-6P 212621-69-7P 212621-70-0P
 212621-71-1P 212621-72-2P 212621-75-5P 212621-76-6P
 235429-37-5P

(preparation of pyrimidinecarboxylates and analogs as transcription factor activation inhibitors)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 15 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:445043 HCAPLUS Full-text

DOCUMENT NUMBER: 131:184902

TITLE: Reactions of aromatic and heteroaromatic
 β -amino- β -(polyfluoroalkyl)vinyl ketones
 with ethylenediamine. A new synthesis of
 N,N'-unsubstituted imidazolidines

AUTHOR(S): Sosnovskikh, V. Ya.; Kutsenko, V. A.

CORPORATE SOURCE: A. M. Gorky Ural State University, Yekaterinburg,
620083, Russia

SOURCE: Russian Chemical Bulletin (Translation of
 Izvestiya Akademii Nauk, Seriya Khimicheskaya)
 (1999), 48(3), 540-551
 CODEN: RCBUEY; ISSN: 1066-5285

PUBLISHER: Consultants Bureau

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 131:184902

ED Entered STN: 21 Jul 1999

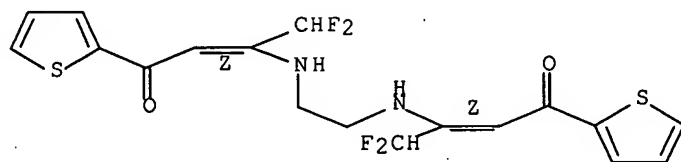
AB The reactions of aromatic and heteroarom. β -amino- β -(polyfluoroalkyl)vinyl
 ketones with ethylenediamine results in the formation of 2,3-dihydro-1H-1,4-
 diazepines, N,N'-unsubstituted imidazolidines, or N,N'-ethylenebis(aminovinyl
 ketones). The route depends on the reaction conditions, the nature of the
 substituent at the carbonyl group, and the number of fluorine atoms in the
 polyfluoroalkyl radical.

IT 240418-16-0P 240418-24-0P 240418-27-3P
 (preparation of)

RN 240418-16-0 HCAPLUS

CN 2-Buten-1-one, 3,3'-(1,2-ethanediyl-diimino)bis[4,4-difluoro-1-(2-
 thienyl)-, (2Z,2'Z)- (9CI) (CA INDEX NAME)

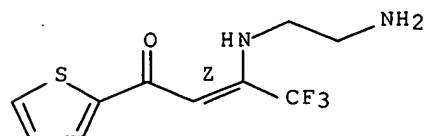
Double bond geometry as shown.



RN 240418-24-0 HCAPLUS

CN 2-Buten-1-one, 3-[(2-aminoethyl)amino]-4,4,4-trifluoro-1-(2-thienyl)-,
 (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

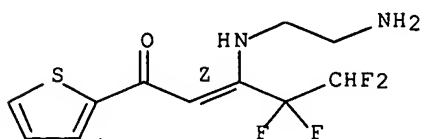


10/523,287

RN 240418-27-3 HCAPLUS

CN 2-Penten-1-one, 3-[(2-aminoethyl)amino]-4,4,5,5-tetrafluoro-1-(2-thienyl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

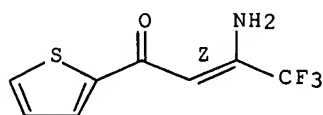


IT 240417-72-5 240417-83-8 240417-84-9
(reaction with 1,2-ethanediamine)

RN 240417-72-5 HCAPLUS

CN 2-Buten-1-one, 3-amino-4,4,4-trifluoro-1-(2-thienyl)-, (2Z)- (9CI)
(CA INDEX NAME)

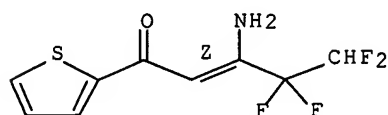
Double bond geometry as shown.



RN 240417-83-8 HCAPLUS

CN 2-Penten-1-one, 3-amino-4,4,5,5-tetrafluoro-1-(2-thienyl)-, (2Z)-
(9CI) (CA INDEX NAME)

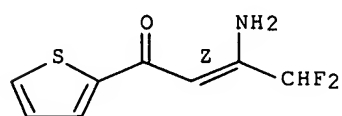
Double bond geometry as shown.



RN 240417-84-9 HCAPLUS

CN 2-Buten-1-one, 3-amino-4,4-difluoro-1-(2-thienyl)-, (2Z)- (9CI) (CA
INDEX NAME)

Double bond geometry as shown.



CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
 IT 77855-08-4P 77855-10-8P 109541-37-9P 109541-38-0P 109541-39-1P
 109541-40-4P 139593-54-7P 142968-04-5P 221317-92-6P
 221317-94-8P 221317-95-9P 240417-88-3P 240417-89-4P
 240417-90-7P 240417-91-8P 240417-92-9P 240417-93-0P
 240417-94-1P 240417-95-2P 240417-96-3P 240417-97-4P
 240417-98-5P 240417-99-6P 240418-00-2P 240418-01-3P
 240418-02-4P 240418-03-5P 240418-05-7P 240418-06-8P
 240418-07-9P 240418-08-0P 240418-09-1P 240418-10-4P
 240418-11-5P 240418-12-6P 240418-13-7P 240418-14-8P
 240418-15-9P 240418-16-0P 240418-17-1P 240418-18-2P
 240418-19-3P 240418-20-6P 240418-21-7P 240418-22-8P
 240418-23-9P 240418-24-0P 240418-25-1P 240418-26-2P
 240418-27-3P 240418-28-4P

(preparation of)

IT 59354-21-1 75840-25-4 75840-26-5 75840-27-6 75840-28-7
 75840-29-8 78605-60-4 91508-84-8 144864-93-7 185010-61-1
 212251-62-2 240417-70-3 240417-71-4 240417-72-5
 240417-73-6 240417-74-7 240417-75-8 240417-76-9 240417-77-0
 240417-78-1 240417-79-2 240417-80-5 240417-81-6 240417-82-7
 240417-83-8 240417-84-9 240417-85-0 240417-86-1
 240417-87-2

(reaction with 1,2-ethanediamine)

REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE
 RE FORMAT

L38 ANSWER 16 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:83143 HCAPLUS Full-text

DOCUMENT NUMBER: 130:237540

TITLE: Synthesis of 2-phenacyl- and 2-(α -
 thenoylmethyl)-2-polyfluoroalkylimidazolidines

AUTHOR(S): Sosnovskikh, V. Ya.; Morozov, M. Yu.

CORPORATE SOURCE: A. M. Gor'kii Urals State University,
 Yekaterinburg, 620083, Russia

SOURCE: Chemistry of Heterocyclic Compounds (New
 York) (Translation of Khimiya Geterotsiklicheskikh
 Soedinenii) (1998), 34(6), 743-744
 CODEN: CHCCAL; ISSN: 0009-3122

PUBLISHER: Consultants Bureau

DOCUMENT TYPE: Journal

LANGUAGE: English

ED Entered STN: 09 Feb 1999

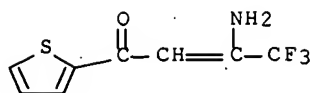
AB The reaction of amino enones with ethanediamine gave imidazolidine derivs.
 which upon ring expansion gave diazepines. The cyclocondensation of 3-amino-
 4,4,4-trifluoro-1-(2-thienyl)-2-buten-1- one with 1,2-ethanediamine gave and
 imidazolidine derivative which was converted into 2,3-dihydro-5-(2-thienyl)-7-
 (trifluoromethyl)-1,4- diazepine.

IT 70204-09-0 76165-57-6

(preparation of (polyfluoroalkyl)imidazolidines and
 (fluoroalkyl)diazepines)

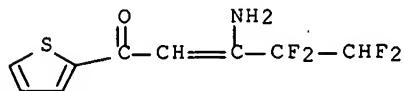
RN 70204-09-0 HCAPLUS

CN 2-Buten-1-one, 3-amino-4,4,4-trifluoro-1-(2-thienyl)- (9CI) (CA INDEX
 NAME)



RN 76165-57-6 HCAPLUS

CN 2-Penten-1-one, 3-amino-4,4,5,5-tetrafluoro-1-(2-thienyl)- (9CI) (CA INDEX NAME)



CC 28-21 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 107-15-3, 1,2-Ethanediamine, reactions 70168-22-8 70204-09-0

76165-57-6 77855-06-2, 2-Penten-1-one, 3-amino-4,4,5,5-tetrafluoro-1-phenyl

(preparation of (polyfluoroalkyl)imidazolidines and (fluoroalkyl)diazepines)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 17 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:608604 HCAPLUS Full-text

DOCUMENT NUMBER: 129:216625

TITLE: Preparation of pyrimidinecarboxylates for treating inflammatory conditions

INVENTOR(S): Suto, Mark J.; Gayo, Leah M.; Palanki, Moorthy S. S.; Ransone-Fong, Lynn J.

PATENT ASSIGNEE(S): Signal Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 95 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9838171	A1	19980903	WO 1998-US3616	19980224
W: AU, CA, JP				
RW: AT, BE, CH, PT, SE				
US 5935966	A	19990810	US 1997-807677	19970227
AU 9866667	A	19980918	AU 1998-66667	19980224
PRIORITY APPLN. INFO.:			US 1997-807677	A 19970227
			US 1995-3109P	P 19950901
			US 1995-574406	A2 19951218
			WO 1996-US14089	W 19960830

OTHER SOURCE(S): MARPAT 129:216625
ED Entered STN: 25 Sep 1998
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

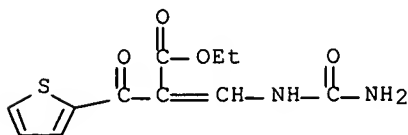
AB The title compds. [I; R2 = R2a when R4 = R4a, and R2 = R2b when R4 = R4b; R2b, R4a = H, halo, C1-8 alkyl, etc.; R2a, R4b = II-V; R5 = CO2R7, C(O)R8, etc.; R6 = H, Me, PhCH2, F, CF3; R7 = H, C1-8 alkyl, etc.; R8 = (un)substituted C1-8 alkyl, C6-12 aryl, C7-12 aralkyl; R9 = H, C1-8 alkyl, etc.; R10, R11 = H, (un)substituted C1-8 alkyl, C6-12 aryl], useful as anti-inflammatory agents in general and, more specifically, for the prevention and/or treatment of immunoinflammatory and autoimmune diseases such as rheumatoid arthritis, osteoarthritis, transplant rejection, sepsis, ARDS, asthma, multiple sclerosis, psoriasis, inflammatory bowel disease, glomerulonephritis, lupus, uveitis, chronic hepatitis, trauma, oxidative stress, cell death, irradiation damage, ischemia, reperfusion, cancer, and viral infection, were prepared. Thus, reaction of Et 2-hydrazino-4-trifluoromethylpyrimidine-5-carboxylate with citraconic anhydride in CHCl3 afforded 39% I [R2 = III (R9 = R11 = H; R10 = Me); R4 = CF3; R5 = H; R6 = CO2Et] which showed IC50 of 0.7 μ M against activation of transcription factors NF κ B and AP-1.

IT 188936-16-5P

(preparation of pyrimidinecarboxylates for treating inflammatory conditions)

RN 188936-16-5 HCAPLUS

CN 2-Thiophenepropanoic acid, α -[[(aminocarbonyl)amino]methylene]-
 β -oxo-, ethyl ester (9CI) (CA INDEX NAME)



IC ICM C07D239-28

ICS C07D239-30; C07D239-42; C07D409-04; C07D403-12; C07D413-04;
C07D403-04; A61K031-505

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT	343-67-9P	571-55-1P	2134-36-3P	2924-82-5P	6214-64-8P
	6319-01-3P	14190-59-1P, 2-Thiazolecarboxylic acid			24755-82-6P
	53135-24-3P	55613-22-4P	56406-35-0P	62328-19-2P	64633-82-5P
	66373-46-4P	90794-84-6P	101251-42-7P	113271-89-9P	139438-53-2P
	149771-21-1P	162129-77-3P	162129-79-5P	188781-04-6P	
	188781-06-8P	188781-08-0P	188781-10-4P	188781-11-5P	
	188781-13-7P	188781-14-8P	188781-20-6P	188781-22-8P	
	188781-49-9P	188781-50-2P	188936-08-5P	188936-09-6P	
	188936-10-9P	188936-15-4P	188936-16-5P	188936-17-6P	
	188936-18-7P	188936-19-8P	188936-20-1P	188936-21-2P	

10/523,287

188936-22-3P	188936-23-4P	188936-24-5P	188936-35-8P
188936-37-0P	188936-39-2P	188936-41-6P	188936-42-7P
188936-43-8P	188936-45-0P	188936-46-1P	188936-47-2P
188936-48-3P	188936-49-4P	188936-58-5P	188936-62-1P
188936-68-7P	188936-94-9P	188937-09-9P	188937-11-3P
188937-12-4P	188937-14-6P	188937-15-7P	188937-17-9P
188937-19-1P	188937-20-4P	188937-21-5P	188937-22-6P
188937-26-0P	188937-28-2P	188937-31-7P	188937-34-0P
188937-37-3P	188937-40-8P	188937-42-0P	188937-45-3P
188937-48-6P	188937-50-0P	206360-12-5P	212621-30-2P
212621-35-7P	212621-39-1P	212621-42-6P	212621-45-9P
212621-46-0P	212621-49-3P	212621-53-9P	212621-55-1P
212621-61-9P	212621-62-0P	212621-63-1P	212621-66-4P
212621-67-5P	212621-68-6P	212621-69-7P	212621-70-0P
212621-71-1P	212621-72-2P	212621-73-3P	212621-74-4P
212621-75-5P	212621-76-6P		

(preparation of pyrimidinecarboxylates for treating inflammatory conditions)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 18 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:433729 HCAPLUS Full-text

DOCUMENT NUMBER: 129:189293

TITLE: Reactions of 3-amino-1-aryl- and 3-amino-1-(2-thienyl)-4,4,4-trifluoro-2-buten-1-ones with 2-aminoethanol

AUTHOR(S): Sosnovskikh, Vyacheslav Y.; Kutsenko, Valentin A.; Morozov, Mikhail Y.

CORPORATE SOURCE: Department of Chemistry, A.M.Gor'ky Urals State University, Yekaterinburg, 620083, Russia

SOURCE: Mendelev Communications (1998), (3), 126-127
CODEN: MENCEX; ISSN: 0959-9436

PUBLISHER: Russian Academy of Sciences

DOCUMENT TYPE: Journal

LANGUAGE: English

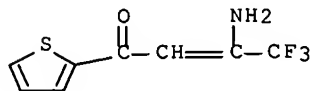
ED Entered STN: 15 Jul 1998

AB The title reactions afford 46-75% 5 1-aryl-3-(2-hydroxyethylamino)- 4,4,4-trifluoro-2-buten-1-ones and 77% 2-(2-thenoylmethyl)-2-trifluoromethyloxazolidine.

IT 70204-09-0
(reaction with aminoethanol)

RN 70204-09-0 HCAPLUS

CN 2-Buten-1-one, 3-amino-4,4,4-trifluoro-1-(2-thienyl)- (9CI) (CA INDEX NAME)



CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 41463-86-9 66180-39-0 70168-22-8 70204-09-0 80070-76-4

80070-77-5 80070-79-7 211869-72-6

(reaction with aminoethanol)

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR

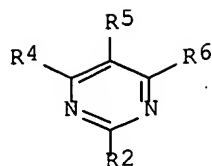
10/523,287

THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

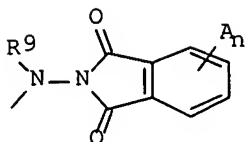
L38 ANSWER 19 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1997:302930 HCAPLUS Full-text
 DOCUMENT NUMBER: 126:277492
 TITLE: Preparation of pyrimidinecarboxylates and related
 compounds for treating inflammatory conditions
 INVENTOR(S): Suto, Mark J.; Gayo, Leah M.; Palanki, Moorthy S.
 S.; Ransone-Fong, Lynn J.
 PATENT ASSIGNEE(S): Signal Pharmaceuticals, Inc., USA; Suto, Mark J.;
 Gayo, Leah M.; Palanki, Moorthy, S. S.;
 Ransone-Fong, Lynn J.
 SOURCE: PCT Int. Appl., 81 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9709325	A1	19970313	WO 1996-US14089	19960830
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
US 5852028	A	19981222	US 1995-574406	19951218
CA 2230896	A1	19970313	CA 1996-2230896	19960830
AU 9670130	A	19970327	AU 1996-70130	19960830
AU 726058	B2	20001026		
JP 11512390	T	19991026	JP 1996-511324	19960830
US 5935966	A	19990810	US 1997-807677	19970227
PRIORITY APPLN. INFO.:			US 1995-3109P	P 19950901
			US 1995-574406	A 19951218
			WO 1996-US14089	W 19960830

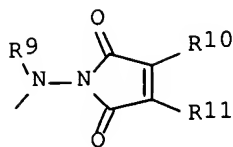
OTHER SOURCE(S): MARPAT 126:277492
 ED Entered STN: 12 May 1997
 GI



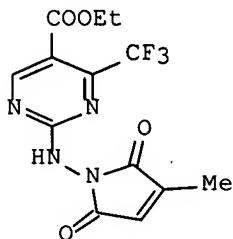
I



II



III



IV

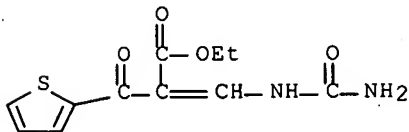
AB The title compds. [I; R2 = R2a when R4 = R4a, and R2 = R2b when R4 = R4b; R2b, R4a = H, halo, (un)substituted C1-8 alkyl, etc.; R2a, R4b = II, III, N(R9)NHC(O)R10, N(R9)NHC(O)C(R10):CHR11 (wherein R9 = H, (un)substituted C1-8 alkyl, etc.; R10, R11 = H, (un)substituted C1-8 alkyl, C6-12; n = 0-4; A = halo, OH, COOH, etc.); R5 = C(O)OR7, C(O)R8 (wherein R7 = H, (un)substituted C1-8 alkyl, etc.; R8 = (un)substituted C1-8 alkyl, C6-12 aryl, C7-12 aralkyl), etc.; R6 = H, Me, F, etc.], anti-inflammatory agents in general and, more specifically, for the prevention and/or treatment of immunoinflammatory and autoimmune diseases such as rheumatoid arthritis, osteoarthritis, transplant rejection, sepsis, ARDS, asthma, multiple sclerosis, psoriasis, inflammatory bowel disease, glomerulonephritis, lupus, uveitis, chronic hepatitis, trauma, oxidative stress, ischemia, reperfusion, cancer and viral infection, were prepared. Thus, refluxing of Et 2-hydrazino-4-trifluoromethylpyrimidine with citraconic anhydride in CHCl₃ afforded IV which showed IC₅₀ of 0.7 μ M against transcription factors NF κ B and AP-1.

IT 188936-16-5P

(preparation of pyrimidinecarboxylates and related compds. for treating inflammatory conditions)

RN 188936-16-5 HCAPLUS

CN 2-Thiophenepropanoic acid, α -[[(aminocarbonyl)amino]methylene]- β -oxo-, ethyl ester (9CI) (CA INDEX NAME)



IC ICM C07D403-12

ICS C07D239-42; C07D409-04; A61K031-505

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 343-67-9P 571-55-1P 2134-36-3P 2924-82-5P 6214-64-8P
6319-01-3P 14190-59-1P, 2-Thiazolecarboxylic acid 24755-82-6P

53135-24-3P	55613-22-4P	56406-35-0P	62328-19-2P	64633-82-5P
66373-46-4P	89793-12-4P	90794-84-6P	98135-49-0P	113271-89-9P
139438-53-2P	149771-21-1P	162129-77-3P	162129-79-5P	
188781-04-6P	188781-06-8P	188781-08-0P	188781-10-4P	
188781-11-5P	188781-13-7P	188781-14-8P	188781-20-6P	
188781-22-8P	188781-49-9P	188781-50-2P	188936-08-5P	
188936-09-6P	188936-10-9P	188936-15-4P	188936-16-5P	
188936-17-6P	188936-18-7P	188936-19-8P	188936-20-1P	
188936-21-2P	188936-22-3P	188936-23-4P	188936-24-5P	
188936-35-8P	188936-37-0P	188936-39-2P	188936-41-6P	
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188936-62-1P	188936-68-7P	188936-94-9P	188937-09-9P	
188937-10-2P	188937-11-3P	188937-12-4P	188937-13-5P	
188937-14-6P	188937-15-7P	188937-16-8P,	2-Thiazoleacetic acid	
188937-17-9P	188937-18-0P	188937-19-1P	188937-20-4P	
188937-21-5P	188937-22-6P	188937-23-7P	188937-24-8P	
188937-26-0P	188937-28-2P	188937-31-7P	188937-34-0P	
188937-37-3P	188937-40-8P	188937-42-0P	188937-45-3P	
188937-48-6P	188937-50-0P	188937-80-6P		

(preparation of pyrimidinecarboxylates and related compds. for treating inflammatory conditions)

L38 ANSWER 20 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1996:326165 HCAPLUS Full-text

DOCUMENT NUMBER: 125:10608

TITLE: Preparation of pyrrole and thiophene derivatives

INVENTOR(S): Hamamoto, Isami

PATENT ASSIGNEE(S): Nippon Soda Co, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

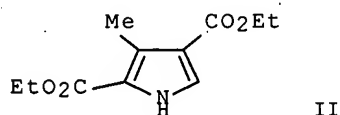
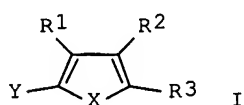
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	---	-----	-----	-----
JP 08059611	A	19960305	JP 1994-225962	19940826
PRIORITY APPLN. INFO.:			JP 1994-225962	19940826

OTHER SOURCE(S): CASREACT 125:10608; MARPAT 125:10608

ED Entered STN: 05 Jun 1996

GI



AB The title compds. I [R1 = alkyl, etc.; R2 = electron-attracting group; R3 = H, (un)substituted alkyl; X = NR5, O, etc.; R5 = H, alkyl, etc.; Y = H, carboxy, etc.] are prepared via cyclization of N-(oxobutenyl)glycine derivs. or S-(oxobutenyl)thioglycolic acids. Thus, a mixture of MeCOC(:CHNHCH2CO2Et)CO2Et

10/523,287

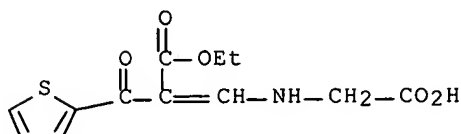
49 g and sodium ethoxide 1.4 g in ethanol 500 mL was refluxed with stirring for 1 h to give pyrrole derivative II 31.6 g.

IT 169467-56-5P

(preparation of pyrrole and thiophene derivs.)

RN 169467-56-5 HCAPLUS

CN 2-Thiophenepropanoic acid, α -[[[(carboxymethyl)amino]methylene]-
 β -oxo-, α -ethyl ester (9CI) (CA INDEX NAME)



IC ICM C07D207-333

ICS C07D207-34; C07D307-68; C07D333-38; C07D409-04

CC 27-10 (Heterocyclic Compounds (One Hetero Atom))

IT 55647-72-8P 169467-53-2P 169467-54-3P 169467-55-4P
 169467-56-5P 169467-57-6P 177032-13-2P 177032-14-3P
 177032-15-4P

(preparation of pyrrole and thiophene derivs.)

L38 ANSWER 21 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1995:884144 HCAPLUS Full-text

DOCUMENT NUMBER: 123:285762

TITLE: Preparation of pyrrole derivatives

INVENTOR(S): Hamamoto, Isami

PATENT ASSIGNEE(S): Nippon Soda Co, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

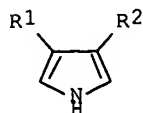
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07157466	A	19950620	JP 1993-340102	19931207
JP 3404720	B2	20030512		
PRIORITY APPLN. INFO.:			JP 1993-340102	19931207

OTHER SOURCE(S): CASREACT 123:285762; MARPAT 123:285762

ED Entered STN: 28 Oct 1995

GI



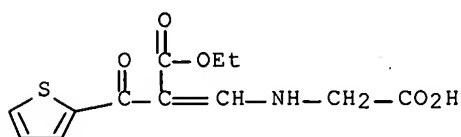
I

AB The title compds. I [R1 = (un)substituted aryl, etc.; R2 = electron-attracting group] are prepared in several steps from glycine and alkyl α -(ethoxymethylene)acetoacetate. Thus, reaction of glycine with Et α -(ethoxymethylene)acetoacetate in ethanol containing KOH, followed by treatment with acetic anhydride at 130 - 135°, and hydrolysis of the product in ethanol and water in the presence of sodium carbonate, gave I [R1 = methyl; R2 = CO₂Et].

IT 169467-56-5P
(preparation of pyrrole derivs.)

RN 169467-56-5 HCAPLUS

CN 2-Thiophenepropanoic acid, α -[[[(carboxymethyl)amino]methylene]- β -oxo-, α -ethyl ester (9CI) (CA INDEX NAME)



IC ICM C07D207-34
ICS C07D207-333; C07D409-04
ICI C07D409-04, C07D207-30, C07D333-20
CC 27-10 (Heterocyclic Compounds (One Hetero Atom))
IT 55647-72-8P 169467-52-1P 169467-53-2P 169467-54-3P
169467-55-4P 169467-56-5P 169467-57-6P 169467-58-7P
(preparation of pyrrole derivs.)

L38 ANSWER 22 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:45927 HCAPLUS Full-text

DOCUMENT NUMBER: 120:45927

TITLE: Novel 3-amino-substituted isoxazole derivatives, their preparation and use for control of endoparasites

INVENTOR(S): Jeschke, Peter; Lindner, Werner; Harder, Achim; Mencke, Norbert

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Eur. Pat. Appl., 28 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: German

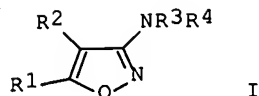
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 563686	A1	19931006	EP 1993-104387	19930318
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE				
DE 4210502	A1	19931007	DE 1992-4210502	19920331
AU 9335480	A	19931007	AU 1993-35480	19930325
JP 06049045	A	19940222	JP 1993-89546	19930325
CA 2092885	A1	19931001	CA 1993-2092885	19930329
ZA 9302257	A	19931014	ZA 1993-2257	19930330
PRIORITY APPLN. INFO.:			DE 1992-4210502	A 19920331

OTHER SOURCE(S): MARPAT 120:45927

ED Entered STN: 05 Feb 1994
GI



AB The title compds. [I; R1 = (substituted) aryl or heteroaryl; R2 = H, halo, alkyl, haloalkyl, cyano, alkoxy carbonyl, (substituted) aryl; R3 = (substituted) alkyl, alkenyl, cycloalkylalkyl, aralkyl, or aryl; R4 = H, alkyl; or R3NR4 = heterocyclyl] are endoparasiticides for use in human and veterinary medicine. They are prepared by reaction of R1C(:O)CR2:C(SMe)NR3R4 (R2 ≠ halo) with NH2OH followed by (catalytic) cyclization. Direct halogenation of I (R2 = H) leads to I (R2 = halo). Thus, (E)-1-(4-methoxyphenyl)-3-ethylamino-3-methylthio-1-oxoprop-2-ene was refluxed with NH2OH.HCl in absolute pyridine to give I (R1 = 4-MeOC6H4, R2 = R3 = H, R4 = Et) (II). II (10 mg/kg orally) was effective against *Trichostrongylus colubriformis* and *Haemonchus contortus* in sheep.

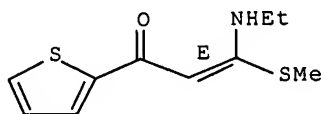
IT 151727-95-6 151727-98-9 151727-99-0
151728-01-7 151728-02-8

(cyclization reaction of, with hydroxylamine)

RN 151727-95-6 HCAPLUS

CN 2-Propen-1-one, 3-(ethylamino)-3-(methylthio)-1-(2-thienyl)-, (E)-
(9CI) (CA INDEX NAME)

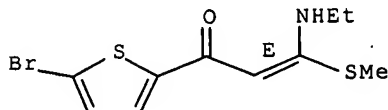
Double bond geometry as shown.



RN 151727-98-9 HCAPLUS

CN 2-Propen-1-one, 1-(5-bromo-2-thienyl)-3-(ethylamino)-3-(methylthio)-,
(E)- (9CI) (CA INDEX NAME)

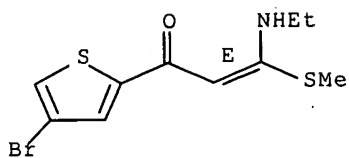
Double bond geometry as shown.



RN 151727-99-0 HCAPLUS

CN 2-Propen-1-one, 1-(4-bromo-2-thienyl)-3-(ethylamino)-3-(methylthio)-,
(E)- (9CI) (CA INDEX NAME)

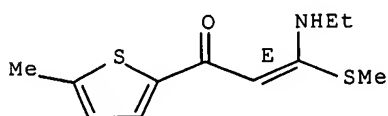
Double bond geometry as shown.



RN 151728-01-7 HCAPLUS

CN 2-Propen-1-one, 3-(ethylamino)-1-(5-methyl-2-thienyl)-3-(methylthio)-,
(E)- (9CI) (CA INDEX NAME)

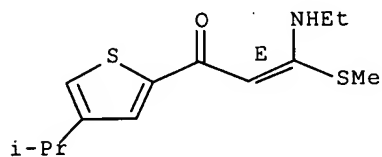
Double bond geometry as shown.



RN 151728-02-8 HCAPLUS

CN 2-Propen-1-one, 3-(ethylamino)-1-[4-(1-methylethyl)-2-thienyl]-3-
(methylthio)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IC ICM C07D261-14

ICS A61K031-42; C07D413-04; A61K031-44

CC 1-5 (Pharmacology)

Section cross-reference(s): 28

IT	151727-35-4	151727-36-5	151727-37-6	151727-38-7	151727-39-8
	151727-40-1	151727-41-2	151727-42-3	151727-43-4	151727-44-5
	151727-45-6	151727-46-7	151727-47-8	151727-48-9	151727-49-0
	151727-50-3	151727-51-4	151727-52-5	151727-53-6	151727-54-7
	151727-55-8	151727-56-9	151727-57-0	151727-58-1	151727-59-2
	151727-60-5	151727-61-6	151727-62-7	151727-63-8	151727-64-9
	151727-65-0	151727-66-1	151727-67-2	151727-68-3	151727-69-4
	151727-70-7	151727-71-8	151727-72-9	151727-73-0	151727-74-1
	151727-75-2	151727-76-3	151727-77-4	151727-78-5	151727-79-6
	151727-80-9	151727-81-0	151727-82-1	151727-83-2	151727-84-3
	151727-85-4	151727-86-5	151727-87-6	151727-88-7	151727-89-8
	151727-90-1	151727-91-2	151727-92-3	151727-93-4	151727-94-5
	151727-95-6	151727-96-7	151727-97-8	151727-98-9	
	151727-99-0	151728-00-6	151728-01-7		
	151728-02-8	151728-03-9	151728-04-0	151728-10-8	

151728-11-9 151728-12-0 151728-13-1 151728-14-2 151728-15-3
151728-16-4 151728-17-5

(cyclization reaction of, with hydroxylamine)

L38 ANSWER 23 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1991:558145 HCAPLUS Full-text

DOCUMENT NUMBER: 115:158145

TITLE: Synthesis of β -aminovinyl ketones by
condensation of nitriles with methyl ketones

AUTHOR(S): Sašnovskikh, V. Ya.; Ovsyannikov, I. S.

CORPORATE SOURCE: Ural. Gos. Univ., Sverdlovsk, USSR

SOURCE: Zhurnal Organicheskoi Khimii (1990), 26(10),
2086-91

CODEN: ZORKAE; ISSN: 0514-7492

DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 115:158145

ED Entered STN: 18 Oct 1991

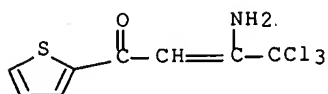
AB Treatment of nitriles RCN [R = (un)substituted Ph, PhCH:CH, 3-pyridyl, PhCH₂,
PhOCH₂, Me, Cl₃C] with Me ketones MeCOR₁ (R₁ = alkyl, Ph, 2-thienyl) in the
presence of PhNEtMgBr afforded aminovinyl ketones H₂NCR:CHCOR₁. The latter
underwent acid hydrolysis to β -diketones RCOCH₂COR₁.

IT 136380-07-9P

(preparation and hydrolysis of).

RN 136380-07-9 HCAPLUS

CN 2-Buten-1-one, 3-amino-4,4,4-trichloro-1-(2-thienyl)- (9CI) (CA INDEX
NAME)



CC 21-2 (General Organic Chemistry)

IT 33663-62-6P 90767-95-6P 107970-92-3P 107970-93-4P 107970-94-5P

107970-95-6P 136346-88-8P 136346-89-9P 136346-90-2P

136346-91-3P 136346-92-4P 136346-93-5P 136380-06-8P

136380-07-9P

(preparation and hydrolysis of)

L38 ANSWER 24 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1991:429157 HCAPLUS Full-text

DOCUMENT NUMBER: 115:29157

TITLE: A facile and novel synthesis of
1,6-naphthyridin-2(1H)-ones

AUTHOR(S): Singh, Baldev; Leshner, George Y.

CORPORATE SOURCE: Dep. Med. Chem., Sterling Res. Group, Rensselaer,
NY, 12144, USA

SOURCE: Journal of Heterocyclic Chemistry (1990), 27(7);
2085-91

CODEN: JHTCAD; ISSN: 0022-152X

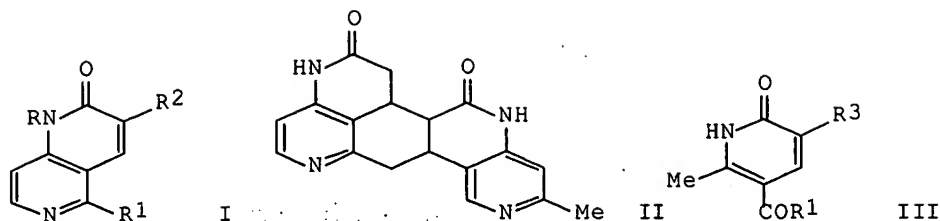
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 115:29157

ED Entered STN: 27 Jul 1991

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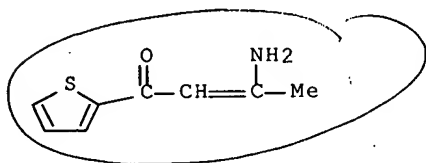


AB The title compds. (I; R = H, Me; R1 = H, Me, Et, Pr, substituted Ph, 2-thienyl, 2-furyl; R2 = H, OH, NH2, CONHNH2, CN) and (II) were prepared from pyridinonitrile (III; R1 = Me, R3 = CN) and Me2NCH(OMe)2 or from pyridinones (III; R1 = H, Et, Pr, substituted Ph, 2-thienyl, 2-furyl; R3 = H) and (Me2N)2CHOCMe3 or Me2NCH(OMe)2. Derivs. (III) can be prepared from MeCOCH2COR1 and HC.tplbond.CCO2Me in 2 steps.

IT 102995-84-6P
(preparation and cyclization of, with Me acetylenecarboxylate)

RN 102995-84-6 HCAPLUS

CN 2-Buten-1-one, 3-amino-1-(2-thienyl)- (9CI) (CA INDEX NAME)



CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 1118-66-7P 1128-85-4P 33663-57-9P 33663-59-1P 86601-51-6P
102252-89-1P 102252-93-7P 102995-81-3P 102995-84-6P
133116-94-6P
(preparation and cyclization of, with Me acetylenecarboxylate)

L38 ANSWER 25 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1987:213774 HCAPLUS Full-text

DOCUMENT NUMBER: 106:213774

TITLE: Preparation and formulation of cardiogenic 5-(heterarylcarbonyl)pyridones

INVENTOR(S): Leshner, George Y.; Singh, Baldev

PATENT ASSIGNEE(S): Sterling Drug Inc.; USA

SOURCE: U.S., 9 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

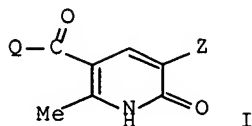
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4650806	A	19870317	US 1985-691238	19850114
PRIORITY APPLN. INFO.:			US 1985-691238	19850114

OTHER SOURCE(S): CASREACT 106:213774

ED Entered STN: 26 Jun 1987
GI

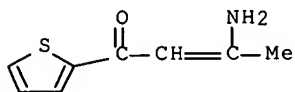


AB Title compds. I [Q = 2(3)-furanyl, 2(3)-thienyl when Z = H or Q = 4(3)-pyridinyl when Z = cyano] and their salts were prepared 3-Amino-1-(2-furanyl)-2-buten-1-one in DMF was treated with HC.tplbond.CCO2Me to give I (Q = 2-furanyl; Z = H) (II). II at 30 µg/mL increased the contractile force of isolated cat or guinea pig atria and papillary muscle by 61 and 55% of controls.

IT 102995-84-6P
(preparation and cyclocondensation of, with Me propiolate)

RN 102995-84-6 HCAPLUS

CN 2-Buten-1-one, 3-amino-1-(2-thienyl)- (9CI) (CA INDEX NAME)



IC ICM A61K031-44
ICS C07D409-06; C07D213-84; C07D405-06

INCL 514335000

CC 27-16 (Heterocyclic Compounds (One Hetero Atom))
Section cross-reference(s): 1

IT 102995-81-3P 102995-84-6P
(preparation and cyclocondensation of, with Me propiolate)

L38 ANSWER 26 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1986:442768 HCAPLUS Full-text

DOCUMENT NUMBER: 105:42768

TITLE: 5-Heteroaryl-1,6-naphthyridin-2(1H)-ones, their cardiogenic use and intermediates

INVENTOR(S): Leshner, George Y.; Singh, Baldev

PATENT ASSIGNEE(S): Sterling Drug Inc., USA

SOURCE: U.S., 9 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 4567186	A	19860128	US 1985-691802	19850114
AU 8651873	A	19860717	AU 1986-51873	19860107

10/523,287

AU 585565	B2	19890622		
EP 191298	A2	19860820	EP 1986-100295	19860110
EP 191298	A3	19861203		

R: BE, CH, DE, FR, GB, IT, LI, NL, SE

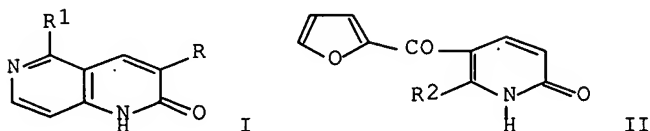
DK 8600137	A	19860715	DK 1986-137	19860113
JP 61210086	A	19860918	JP 1986-6190	19860114

PRIORITY APPLN. INFO.:		US 1985-691802	A	19850114
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OTHER SOURCE(S): MARPAT 105:42768

ED Entered STN: 09 Aug 1986

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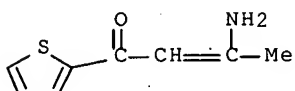
AB The title compds. [I; R = H, cyano, CO₂H; R₁ = furanyl, thienyl, 3- or 4-pyridinyl optionally having Me substituents] were prepared as cardiotonics. Thus, 85 g 3-amino-1-(2-furanyl)-2-buten-1-one was cyclocondensed with HC.tplbond.CCO₂Me to give 68.4 g pyridimone II (R₂ = Me). This (30.5 g) was condensed with Me₂NCH(OMe)₂ to give 28.4 g II (R₂ = Me₂NCH:CH). The latter was cyclocondensed with NH₄OAc in refluxing DMF to give 13.4 g I (R = H, R₁ = 2-furanyl) (III). In isolated guinea pig atria preps. 3 µg III/mL gave a 65% increase in papillary muscle force.

IT 102995-84-6P

(preparation and cyclocondensation of, with Me propiolate)

RN 102995-84-6 HCAPLUS

CN 2-Buten-1-one, 3-amino-1-(2-thienyl)- (9CI) (CA INDEX NAME)



IC ICM A61K031-435

ICS C07D471-04; C07D213-24

INCL 51430000

CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

IT 102995-81-3P 102995-84-6P

(preparation and cyclocondensation of, with Me propiolate)

L38 ANSWER 27 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1985:5355 HCAPLUS Full-text

DOCUMENT NUMBER: 102:5355

TITLE: The reaction of electrogenerated superoxide ion with fluorinated β-ketoamines and their metal chelates

AUTHOR(S): Budnikov, G. K.; Kargina, O. Yu.

CORPORATE SOURCE: Dep. Chem., V. I. Ul'yanov-Lenin State Univ.,
Kazan, USSR
SOURCE: Journal of Electroanalytical Chemistry and
Interfacial Electrochemistry (1984), 171(1-2),
257-68
CODEN: JEIEBC; ISSN: 0022-0728
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 12 Jan 1985

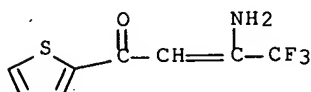
AB The reactions of the electrogenerated superoxide ion with fluorinated β -ketoamines, e.g., $\text{H}(\text{CF}_2)_2\text{COCH}:\text{C}(\text{NH}_2)(\text{CF}_2)_2\text{H}$, and their Ni and Pd chelates in DMF containing Et_4NClO_4 have been investigated. Using d.c. and commutated polarog., an ECE-type mechanism was found to be operative, the substrate acting as the protonating agent towards the superoxide ion. The pseudo first- and the second-order rate consts. for the $\text{O}_2^{\bullet-}$ protonation were estimated by fitting i_k/i_d values to the i_k/i_d vs. $\log kt$ working curves (i_k/i_d is the ratio of the limiting current for oxygen reduction with added substrate to the corresponding limiting current without added substrate). The second-order rate consts. for the complexes were correlated with pK_a values of the amino groups of the corresponding ligands. The mechanism of $\text{O}_2^{\bullet-}$ protonation by the ligands was complicated.

IT 70204-09-0

(protonation by, of electrogenerated superoxide ion)

RN 70204-09-0 HCAPLUS

CN 2-Buten-1-one, 3-amino-4,4,4-trifluoro-1-(2-thienyl)- (9CI) (CA INDEX NAME)



CC 22-5 (Physical Organic Chemistry)

Section cross-reference(s): 72, 78

IT 70168-22-8 70204-09-0 71080-49-4 72885-02-0 78063-58-8
78063-60-2 80070-76-4 80070-77-5 80070-78-6 80070-79-7
80070-82-2 80070-83-3 92881-85-1 92881-86-2 92881-87-3
92881-89-5 92881-90-8 92881-91-9 92881-93-1 93555-88-5
93555-89-6 93555-90-9 93555-91-0 93555-92-1 93555-93-2
93555-94-3 93555-95-4

(protonation by, of electrogenerated superoxide ion)

L38 ANSWER 28 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1983:89285 HCAPLUS Full-text

DOCUMENT NUMBER: 98:89285

TITLE: N-acyl- β -enamino ketones: versatile
heterocyclic synthons

AUTHOR(S): Potts, Kevin T.; Ruffini, Alan J.; Titus, George R.

CORPORATE SOURCE: Dep. Chem., Rensselaer Polytech. Inst., Troy, NY,
12181, USA

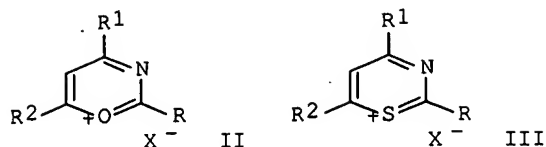
SOURCE: Journal of Organic Chemistry (1983), 48(4), 623-5
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 98:89285

ED Entered STN: 12 May 1984
GI



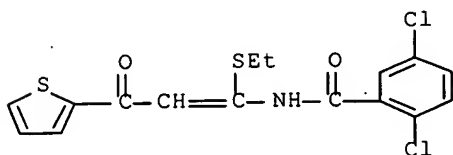
AB Reaction of di-Et N-(substituted)dithiocarbonimidates, prepared from dithiocarbamates by S-ethylation, with the K enolates from Me ketones in THF at room temperature provided a ready synthesis of $RCONHCR_1CH:CHCOR_2$ (I; R = 2,5-Cl₂C₆H₃, 2-furyl; R₁ = SEt, NEt₂; R₂ = 4-MeOC₆H₄, 2-thienylthio, Ph) in moderate to excellent yield. Two equivalent of Me₃COK were used to suppress side reactions and facilitate isolation of I via its K salt. Use of the corresponding isothioureia allowed introduction of an NEt₂ substituent into the 3-position of I. 1,3-Oxazin-2-one (II; X = ClO₄, MeSO₃) and 1,3-thiazin-2-one salts (III; X = ClO₄, MeSO₃) were formed from these N-acyl-β-enaminones on treatment with 70% HClO₄ in Ac₂O or with MeSO₃H.

IT 84454-23-9P 84454-26-2P

(preparation and cyclization of)

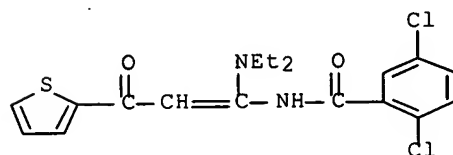
RN 84454-23-9 HCAPLUS

CN Benzamide, 2,5-dichloro-N-[1-(ethylthio)-3-oxo-3-(2-thienyl)-1-propenyl]- (9CI) (CA INDEX NAME)



RN 84454-26-2 HCAPLUS

CN Benzamide, 2,5-dichloro-N-[1-(diethylamino)-3-oxo-3-(2-thienyl)-1-propenyl]- (9CI) (CA INDEX NAME)



CC 28-14 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 25, 27

IT 84433-68-1P 84454-23-9P 84454-24-0P 84454-25-1P
84454-26-2P

(preparation and cyclization of)

L38 ANSWER 29 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1982:492172 HCAPLUS Full-text

DOCUMENT NUMBER: 97:92172

TITLE: Reactions of reactive nucleophiles with

5-phenylthieno[3,2-b]pyran-7-one and

2-phenylbenzo[b]thieno[3,2-b]pyran-4-one

AUTHOR(S): Netchitailo, Pierre; Decroix, Bernard; Morel, Jean

CORPORATE SOURCE: Lab. Chim. Org. Heterocycles, Inst. Haute

Normandie, Mont Saint Aignan, 76130, Fr.

SOURCE: Journal of Heterocyclic Chemistry (1982), 19(2),

327-33

CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: French

OTHER SOURCE(S): CASREACT 97:92172

ED Entered STN: 12 May 1984

AB The title compds. reacted with nucleophiles in various ways. In general their reactivity was lower than that of 2-phenylbenzopyranones.

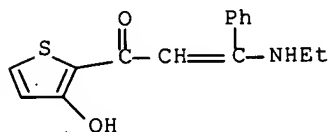
IT 82747-06-6P

(preparation of)

RN 82747-06-6 HCAPLUS

CN 2-Propen-1-one, 3-(ethylamino)-1-(3-hydroxy-2-thienyl)-3-phenyl- (9CI)

(CA INDEX NAME)



CC 28-2 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 3260-92-2P 82746-98-3P 82746-99-4P 82747-00-0P 82747-01-1P

82747-02-2P 82747-03-3P 82747-04-4P 82747-05-5P

82747-06-6P 82747-07-7P 82747-08-8P 82747-09-9P

82747-10-2P 82747-11-3P 82747-12-4P 82747-13-5P 82747-18-0P

82747-19-1P 82747-20-4P 82747-21-5P 82747-22-6P 82747-23-7P

82747-24-8P 82747-25-9P 82747-26-0P 82747-27-1P

(preparation of)

L38 ANSWER 30 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1982:423679 HCAPLUS Full-text

DOCUMENT NUMBER: 97:23679

TITLE: Enamines from β -oxocarboxylic acid esters
(3-amino-2-alkene acid ester) and their use in
pyrazole synthesis

AUTHOR(S): Plath, Peter; Rohr, Wolfgang

CORPORATE SOURCE: Hauptlab., BASF A.-G., Ludwigshafen, D-6700, Fed.
Rep. Ger.

SOURCE: Synthesis (1982), (4), 318-20

CODEN: SYNTBF; ISSN: 0039-7881

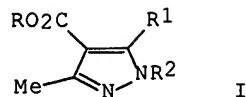
DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 97:23679

ED Entered STN: 12 May 1984

GI



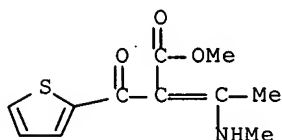
AB RO₂CCH:CM₂NHMe (R = Me, Et, Me₂CH) were treated with R₁COCl (R₁ = aryl, heteroaryl) to give 55-95% RO₂CC(COR₁):CM₂NHMe, which were cyclized with R₂NHNH₂ (R₂ = H, Me) to give the pyrazoles I in 7-91% yield.

IT 82140-49-6P

(preparation and cyclization with hydrazine)

RN 82140-49-6 HCAPLUS

CN 2-Thiophenepropanoic acid, α-[1-(methylamino)ethylidene]-β-oxo-, methyl ester (9CI) (CA INDEX NAME)



CC 28-8 (Heterocyclic Compounds (More Than One Hetero Atom))

IT 76923-71-2P 82140-46-3P 82140-47-4P 82140-49-6P

82140-50-9P 82140-51-0P 82140-52-1P 82140-53-2P 82140-54-3P

82140-55-4P

(preparation and cyclization with hydrazine)

L38 ANSWER 31 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1981:497263 HCAPLUS Full-text

DOCUMENT NUMBER: 95:97263

TITLE: Reaction of β-mercaptoethylamine with α-acetylenic ketones

AUTHOR(S): Glotova, T. E.; Nakhmanovich, A. S.; Skvortsova, G. G.; Komarova, T. N.; Kalikhman, I. D.; Voronkov, M. G.

CORPORATE SOURCE: Irkutsk. Inst. Org. Khim., Irkutsk, USSR

SOURCE: Zhurnal Organicheskoi Khimii (1981), 17(4), 749-55
CODEN: ZORKAE; ISSN: 0514-7492

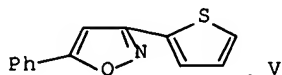
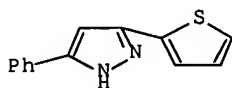
DOCUMENT TYPE: Journal

LANGUAGE: Russian

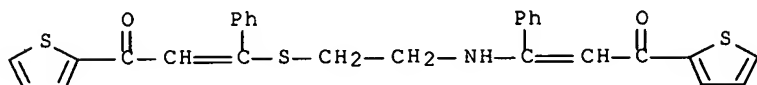
OTHER SOURCE(S): CASREACT 95:97263

ED Entered STN: 12 May 1984

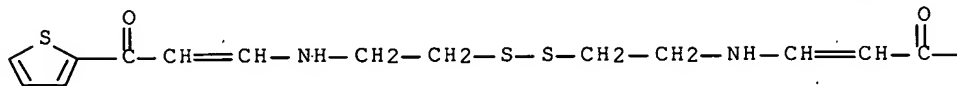
GI



- AB Q = 2-thienyl throughout. Addition reaction of RCOC.tplbond.CR1 (I) (R, R1 = Ph, H; Ph, Ph; Q, H; Q, Ph) with $\text{HSCH}_2\text{CH}_2\text{NH}_2$ in MeOH-MeONa or $\text{CHCl}_3\text{-K}_2\text{CO}_3$ gave 8-46% ($\text{RCOCH:CR1NHCH}_2\text{CH}_2\text{S}$)₂ (II); I (R1 = Ph) also gave 6-56% $\text{RCOCH:CPhSCH}_2\text{CH}_2\text{NHCPH:CHCOR}$ (III). II formed Cu complexes. Several reactions of III were studied; e.g., with N_2H_4 or NH_2OH , III (R = Q) eliminated $\text{HSCH}_2\text{CH}_2\text{NH}_2$ to give, resp., IV and V.
- IT 78504-81-1P
(preparation and reactions of)
- RN 78504-81-1 HCAPLUS
- CN 2-Propen-1-one, 3-[[2-[[3-oxo-1-phenyl-3-(2-thienyl)-1-propenyl]amino]ethyl]thio]-3-phenyl-1-(2-thienyl)- (9CI) (CA INDEX NAME)

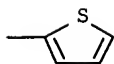


- IT 78504-84-4P 78504-85-5P
(preparation of)
- RN 78504-84-4 HCAPLUS
- CN 2-Propen-1-one, 3,3'-[dithiobis(2,1-ethanediylimino)]bis[1-(2-thienyl)- (9CI) (CA INDEX NAME)]

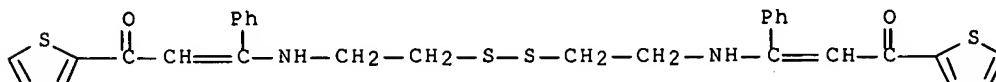


PAGE 1-A

PAGE 1-B



- RN 78504-85-5. HCAPLUS
- CN 2-Propen-1-one, 3,3'-[dithiobis(2,1-ethanediylimino)]bis[3-phenyl-1-(2-thienyl)- (9CI) (CA INDEX NAME)]



CC 25-15 (Noncondensed Aromatic Compounds)

Section cross-reference(s): 27, 28

IT 78504-80-0P 78504-81-1P

(preparation and reactions of)

IT 1145-01-3P 2039-49-8P 21985-07-9P 21985-10-4P 78504-82-2P

78504-83-3P 78504-84-4P 78504-85-5P 78504-87-7P

78736-66-0P 78736-67-1P

(preparation of) - 4

L38 ANSWER 32 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1979:186305 HCAPLUS Full-text

DOCUMENT NUMBER: 90:186305

TITLE: Preparation of β -aminovinyl ketones with an amino group at carbon bound to a fluorinated substituent

AUTHOR(S): Pashkevich, K. I.; Aizikovich, A. Ya.

CORPORATE SOURCE: Inst. Khim., Sverdlovsk, USSR

SOURCE: Doklady Akademii Nauk SSSR (1979), 244(3), 618-20 [Chem.]

CODEN: DANKAS; ISSN: 0002-3264

DOCUMENT TYPE: Journal

LANGUAGE: Russian

ED Entered STN: 12 May 1984

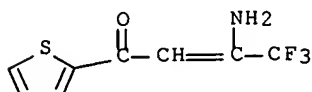
AB Reaction of perfluoronitriles with MeCOR gave 26-81% $\text{RCOCH:C(NH}_2\text{)R}_1$ (R, R_1 = Me, CF_3 ; Me_2CH , CF_3 ; Me_3C , CF_3 ; Ph, CF_3 ; 2-thienyl, CF_3 ; Me, C_2F_5 ; Me, C_3F_7).

IT 70204-09-0P

(preparation of)

RN 70204-09-0 HCAPLUS

CN 2-Buten-1-one, 3-amino-4,4,4-trifluoro-1-(2-thienyl)- (9CI) (CA INDEX NAME)



CC 23-15 (Aliphatic Compounds)

Section cross-reference(s): 25, 27

IT 67150-28-1P 70168-20-6P 70168-21-7P 70168-22-8P 70168-23-9P

70168-24-0P 70204-09-0P

(preparation of)

L38 ANSWER 33 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1978:105153 HCAPLUS Full-text

DOCUMENT NUMBER: 88:105153

TITLE: 1-Phenoxy-3-aminopropan-2-ol derivatives and their acid addition salts

PATENT ASSIGNEE(S): Cassella Farbwerke Mainkur A.-G., Fed. Rep. Ger.

SOURCE: Austrian, 17 pp.

CODEN: AUXXAK

DOCUMENT TYPE: Patent

LANGUAGE: German

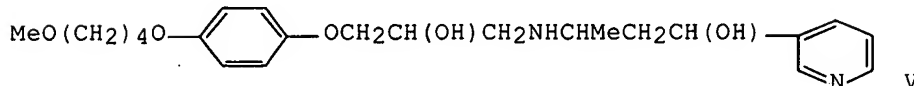
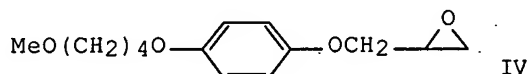
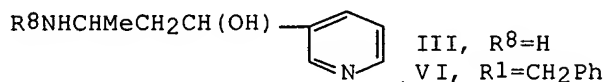
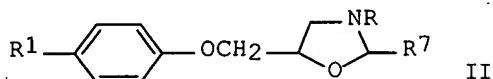
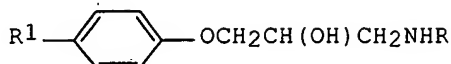
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AT 339307	B	19771010	AT 1974-10167	19741219
AT 7410167	A	19770215		
<u>US 4088764</u>	A	19780509	US 1974-531344	19741210
FI 7403631	A	19750628	FI 1974-3631	19741216
NO 7404530	A	19750630	NO 1974-4530	19741216
SE 7415761	A	19750630	SE 1974-15761	19741216
DK 7406547	A	19750825	DK 1974-6547	19741216
DD 117071	A5	19751220	DD 1974-183198	19741219
ZA 7408082	A	19760128	ZA 1974-8082	19741219
SU 559643	A3	19770525	SU 1974-2085461	19741219
SU 598557	A3	19780315	SU 1974-2085234	19741219
HU 171726	B	19780328	HU 1974-CA376	19741219
CA 1047512	A1	19790130	CA 1974-216421	19741219
<u>US 4066768</u>	A	19780103	US 1976-669995	19760324
PRIORITY APPLN. INFO.:			LU 1973-34590	A 19731227
			US 1974-531344	A2 19741210

ED. Entered STN: 12 May 1984

GI



AB The title compds. I [R = CR₂:CHCOR₃, CHR₂CH₂CH(OH)R₃ (R₂ = H, Me; R₃ = an aromatic or quasi-aromatic 5- or 6-membered monocyclic ring, with 1 or 2 N, O, and (or) S atoms, which can be substituted with 1 or more Me groups, and connected via a C atom); R¹ = alkoxyethyl, alkoxyalkoxy, hydroxyalkoxy, NHCONR₄R₅ (R₄ and R₅ = H, alkyl, alkenyl, cycloalkyl; NR₄R₅ = a saturated 5- or 6-membered heterocyclic group, which may have O or S as an addnl. heteroatom), and contain C1-4 alkyl or alkoxy groups, C3-4 alkenyl groups, or C5-7 cycloalkyl groups] as well as their aldehyde condensation products and acid addition salts, were prepared by treating 4-R¹C₆H₄OCH₂R⁶ [R⁶ = 2-oxiranyl, CH(OH)CH₂X (X = halo) with H₂NR (R as above) and the compds. formed, if necessary, converted with R⁷CHO (R⁷ = H, C1-4 alkyl) into the oxazolidine

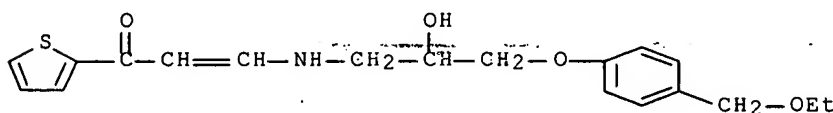
II, or, with acid into the acid addition salts. Thus, e.g., aminobutanol III in PhMe was treated with epoxide IV and the mixture stirred 36 h at room temperature to give the dihydroxyamine V. III was prepared by treating nicotinoylacetone K salt in EtOH with PhCH₂NH₂.HCl, stirring the mixture 24 h at room temperature (88% yield), reducing the product R⁹CH:CM₂NHCH₂Ph (R⁹ = nicotinoyl) with NaBH₄ (62% yield), and debenzylating the amino alc. VI. An addnl. 57 I and 1 oxazolidine derivative were prepared. Selected I had ED₅₀ 0.003-0.093 mg/kg (dog) as β₁-receptor inhibitors and ED₅₀ 1.02-15.59 mg/kg (dog) as β₂-receptor inhibitors [vs. 0.238 and 26.505 for 4-Me₂CHNHCH₂CH(OH)CH₂OC₆H₄NHAc] and are useful in treating arrhythmia and other heart disorders..

IT 57725-49-2P

(preparation of)

RN 57725-49-2 HCAPLUS

CN 2-Propen-1-one, 3-[[3-[4-(ethoxymethyl)phenoxy]-2-hydroxypropyl]amino]-1-(2-thienyl)- (9CI) (CA INDEX NAME)

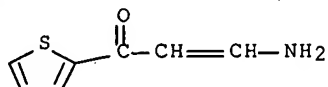


IT 65653-29-4

(reaction of, with glycidyl Ph ethers)

RN 65653-29-4 HCAPLUS

CN 2-Propen-1-one, 3-amino-1-(2-thienyl)- (9CI) (CA INDEX NAME)



IC C07D213-30.

CC 27-17 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 28

IT	57725-38-9P	57725-46-9P	57725-47-0P	57725-48-1P
	57725-49-2P	57725-50-5P	57725-51-6P	57725-53-8P
	57725-54-9P	57725-55-0P	57725-56-1P	57725-57-2P
	57725-60-7P	57725-61-8P	57725-62-9P	57725-63-0P
	57725-66-3P	57725-67-4P	57725-68-5P	57725-69-6P
	57725-71-0P	57725-72-1P	57725-73-2P	57725-74-3P
	57725-76-5P	57725-77-6P	57725-78-7P	57725-79-8P
	57725-81-2P	57725-82-3P	57725-83-4P	57725-84-5P
	57725-86-7P	57725-87-8P	57725-88-9P	57725-89-0P
	57725-91-4P	57725-92-5P	57725-93-6P	57725-94-7P
	57726-22-4P	57953-56-7P	57953-58-9P	57953-59-0P
	65653-37-4P	65653-38-5P		65653-26-1P

(preparation of)

IT 51469-84-2 56704-14-4 56704-15-5 56736-22-2 56736-23-3

65653-29-4 65653-30-7 65653-31-8 65653-32-9 65653-33-0

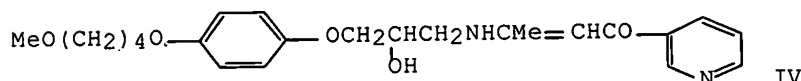
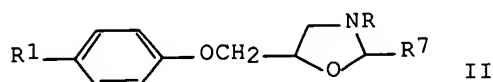
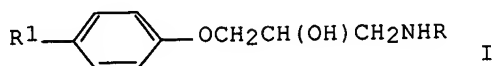
65653-34-1 65653-35-2 65653-36-3

(reaction of, with glycidyl Ph ethers)

L38 ANSWER 34 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 1978:89525 HCAPLUS Full-text
 DOCUMENT NUMBER: 88:89525
 TITLE: 1-Phenoxy-3-aminopropan-2-ol derivatives and their acid addition salts
 PATENT ASSIGNEE(S): Cassella Farbwerke Mainkur A.-G., Fed. Rep. Ger.
 SOURCE: Austrian, 20 pp.
 CODEN: AUXXAK
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AT 339306	B	19771010	AT 1974-10166	19741219
AT 7410166	A	19770215		
US 4088764	A	19780509	US 1974-531344	19741210
FI 7403631	A	19750628	FI 1974-3631	19741216
NO 7404530	A	19750630	NO 1974-4530	19741216
SE 7415761	A	19750630	SE 1974-15761	19741216
DK 7406547	A	19750825	DK 1974-6547	19741216
DD 117071	A5	19751220	DD 1974-183198	19741219
ZA 7408082	A	19760128	ZA 1974-8082	19741219
SU 559643	A3	19770525	SU 1974-2085461	19741219
SU 598557	A3	19780315	SU 1974-2085234	19741219
HU 171726	B	19780328	HU 1974-CA376	19741219
CA 1047512	A1	19790130	CA 1974-216421	19741219
US 4066768	A	19780103	US 1976-669995	19760324
PRIORITY APPLN. INFO.:			LU 1973-34590	A 19731227
			US 1974-531344	A2 19741210

ED Entered STN: 12 May 1984
 GI



AB The title compds. I [R = CR₂:CHCOR₃, CHR₂CH₂CH(OH)R₃ (R₂ = H, Me; R₃ = an aromatic or quasi-aromatic 5- or 6-membered monocyclic ring, with 1 or 2 N, O, and (or) S atoms, which can be substituted with 1 or more Me groups, and connected via a C atom); R₁ = alkoxymethyl, alkoxyalkoxy, hydroxyalkoxy, NHCONR₄R₅ (R₄ and R₅ = Ph, alkyl, alkenyl, cycloalkyl; NR₄R₅ = a saturated 5- or 6-membered heterocyclic group, which may have O or S as an addnl. heteroatom), and contain C1-4 alkyl or alkoxy groups, C3-4 alkenyl groups, and

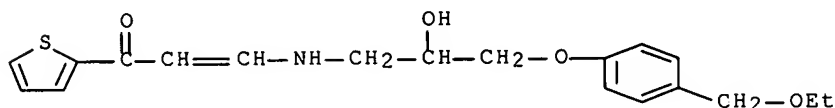
C5-7 cycloalkyl groups] as well as their aldehyde condensation products and acid addition salts, were prepared by treating 4-R1C6H4OCH2CH(OH)CH2NH2 with RR6 (R as above, R6 = halo, OH, OK, ONa) and the obtained I, if necessary, converted with R7CHO (R7 = H, C1-4 alkyl) into oxazolidines II or with an acid into acid addition salts. Thus, e.g., 4-MeO(CH2)4OC6H4OCH2CH(OH)CH2NH2 (III) in EtOH was treated with nicotinoylacetone and the mixture treated with 1 drop HCO2H and refluxed 3 h to give 78% the nicotinoylvinylamino ether IV. Nicotinoylacetone was prepared by dropwise treatment of KOCMe3 in C6H6 with EtOAc and 3-acetylpyridine at 10° and keeping the mixture 24 h at room temperature. III was prepared by heating 4-HOC6H4OCH2Ph with MeO(CH2)4Br in Me2CO with excess K2CO3, hydrogenolysis of the formed 4-MeOC6H4OR8 (V, R8 = CH2Ph), treating the phenol V (R = H) with epichlorohydrin, and ammonolysis of the resulting glycidyl ether V (R = glycidyl). An addnl. 54 I and 1 oxazolidine derivative were prepared. Selected I had ED50 0.003-0.093 mg/kg (dog) as β 1-receptor inhibitors and ED50 1.02-15.59 mg/kg (dog) as β 2-receptor inhibitors [vs. 0.238 and 26.505 for 4-Me2CHNHCH2CH(OH)CH2OC6H4NHAc] and are useful in treating arrhythmia and other heart disorders.

IT 57725-49-2P

(preparation of)

RN 57725-49-2 HCAPLUS

CN 2-Propen-1-one, 3-[[3-[4-(ethoxymethyl)phenoxy]-2-hydroxypropyl]amino]-1-(2-thienyl)- (9CI) (CA INDEX NAME)



IC C07D213-30

CC 27-17 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 28

IT	57725-38-9P	57725-45-8P	57725-47-0P	57725-48-1P	
	57725-49-2P	57725-50-5P	57725-51-6P	57725-54-9P	
	57725-55-0P	57725-56-1P	57725-57-2P	57725-58-3P	57725-59-4P
	57725-60-7P	57725-61-8P	57725-62-9P	57725-63-0P	57725-65-2P
	57725-66-3P	57725-67-4P	57725-68-5P	57725-69-6P	57725-70-9P
	57725-71-0P	57725-72-1P	57725-73-2P	57725-74-3P	57725-75-4P
	57725-76-5P	57725-77-6P	57725-78-7P	57725-79-8P	57725-80-1P
	57725-81-2P	57725-82-3P	57725-83-4P	57725-84-5P	57725-85-6P
	57725-86-7P	57725-87-8P	57725-88-9P	57725-89-0P	57725-90-3P
	57725-91-4P	57725-92-5P	57725-93-6P	57725-94-7P	57725-95-8P
	57726-22-4P	57953-56-7P	57953-58-9P	57953-59-0P	65653-37-4P
	65653-38-5P				

(preparation of)

L38 ANSWER 35 OF 35 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1976:30897 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 84:30897

TITLE: Heterocyclic derivatives of 1-amino-3-phenoxy-2-propanol

INVENTOR(S): Raabe, Thomas; Graewinger, Otto; Scholtholt, Josef; Nitz, Rolf E.; Schraven, Eckhard

PATENT ASSIGNEE(S): Cassella Farbwerke Mainkur A.-G., Fed. Rep. Ger.

SOURCE: Ger. Offen., 61 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2458744	A1	19750710	DE 1974-2458744	19741212
NL 7416377	A	19750701	NL 1974-16377	19741216
FR 2255893	A1	19750725	FR 1974-42024	19741219
AU 7476664	A	19760624	AU 1974-76664	19741219
GB 1443135	A	19760721	GB 1974-54911	19741219
ES 433131	A1	19770216	ES 1974-433131	19741219
ES 433132	A1	19770216	ES 1974-433132	19741219
ES 433133	A1	19770216	ES 1974-433133	19741219
CH 602716	A5	19780731	CH 1974-16973	19741219
CH 603584	A5	19780831	CH 1974-16972	19741219
CS 184837	B2	19780915	CS 1974-8779	19741219
CS 184838	B2	19780915	CS 1974-8780	19741219
CS 184850	B2	19780915	CS 1977-1030	19741219
CH 605758	A5	19781013	CH 1974-16974	19741219
RO 69155	A1	19810330	RO 1974-80875	19741219
RO 68397	A1	19810626	RO 1974-80874	19741219
RO 69154	A1	19810730	RO 1974-80873	19741219
JP 50096562	A	19750731	JP 1974-148532	19741226
PRIORITY APPLN. INFO.:			LU 1973-69079	A 19731227

ED Entered STN: 12 May 1984

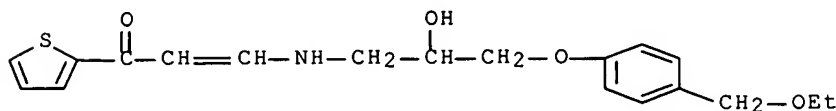
AB 1-Phenoxy-3-amino-2-propanols 4-RC₆H₄OCH₂CH(OH)CH₂NHR₁ (I; R = alkoxyethyl, alkoxyalkoxy, hydroxyalkoxy, or substituted ureido; R₁ = CR₂:CHCOR₃ or CHR₂CH₂CHR₃OH, where R₂ = H or Me, and R₃ = a C-bonded 5- or 6-membered heterocyclic ring containing 1 or 2 N, S, and/or O atoms), which were β -receptor blocking agents, were prepared by reacting 4-RC₆H₄OCH₂CH(OH)CH₂NH₂ with R₁X, where X = Br or Cl. Among 56 I thus prepared were (R, R₁ given): MeO(CH₂)₄O, CMe:CHCOR₃ (R₃ = 3-pyridyl); EtOCH₂, 2-(2-thienylcarbonyl)vinyl; EtNHCONH, 2-[(2,4-dimethyl-2-pyrimidinyl)carbonyl]-1-methylvinyl; HOCH₂CH₂O, 3-(1,5-dimethylpyrazol-4-yl)-3-hydroxy-1-methylpropyl; and morpholinocarboxamido, 3-hydroxy-1-methyl-3-(6-methyl-3-pyridyl)propyl.

IT 57725-49-2P

(preparation of)

RN 57725-49-2 HCAPLUS

CN 2-Propen-1-one, 3-[[3-[4-(ethoxymethyl)phenoxy]-2-hydroxypropyl]amino]-1-(2-thienyl)- (9CI) (CA INDEX NAME)



IC C07D

CC 27-17 (Heterocyclic Compounds (One Hetero Atom))

Section cross-reference(s): 25, 28

IT 3051-27-2P 3594-37-4P 18394-65-5P 51469-80-8P 51469-83-1P
 56703-83-4P 56704-26-8P 56735-78-5P 57725-38-9P 57725-42-5P
 57725-44-7P 57725-45-8P 57725-46-9P 57725-47-0P 57725-48-1P

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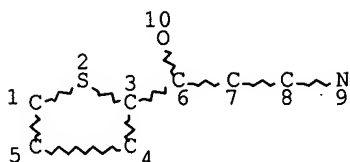
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57725-63-0P	57725-64-1P	57725-65-2P	57725-66-3P	57725-67-4P
57725-68-5P	57725-69-6P	57725-70-9P	57725-71-0P	57725-72-1P
57725-73-2P	57725-74-3P	57725-75-4P	57725-76-5P	57725-77-6P
57725-78-7P	57725-79-8P	57725-80-1P	57725-81-2P	57725-82-3P
57725-83-4P	57725-84-5P	57725-85-6P	57725-86-7P	57725-87-8P
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57725-93-6P	57725-94-7P	57725-95-8P	57725-96-9P	57725-97-0P
57725-98-1P	57725-99-2P	57726-00-8P	57726-01-9P	57726-02-0P
57726-22-4P	57953-56-7P	57953-57-8P	57953-58-9P	57953-59-0P

(preparation of)

=> d que 147

L9

STR



NODE ATTRIBUTES:

NSPEC IS RC AT 7

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

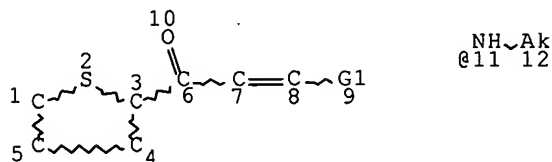
RSPEC I

NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE

L11 2111 SEA FILE=REGISTRY SSS FUL L9

L23 STR



VAR G1=NH2/11

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I

NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

10/523,287

L26 54 SEA FILE=REGISTRY SUB=L11 SSS FUL L23
 L27 40 SEA FILE=HCAPLUS ABB=ON PLU=ON L26
 L46 23 SEA FILE=MARPAT SSS FUL L23
 L47 18 SEA FILE=MARPAT ABB=ON PLU=ON L46 NOT L27

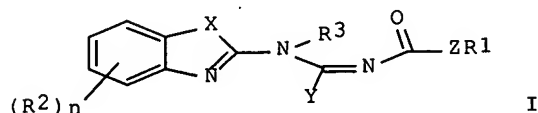
=> d 147 1-18 ibib abs qhit

L47 ANSWER 1 OF 18 MARPAT COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 144:350664 MARPAT Full-text
 TITLE: Heterocyclic compounds, compositions and methods
 of inhibiting α -synuclein toxicity and
 diseases in which α -synuclein fibrils are a
 symptom
 INVENTOR(S): Lindquist, Susan L.; Outeiro, Tiago; Labaudiniere,
 Richard
 PATENT ASSIGNEE(S): Whitehead Institute for Biomedical Research, USA;
 Foldrx Pharmaceuticals, Inc.
 SOURCE: PCT Int. Appl., 263 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006034003	A2	20060330	WO 2005-US33050	20050916
WO 2006034003	A3	20060713		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA,
 CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
 GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM,
 KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,
 MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
 RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ,
 UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU,
 IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
 TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
 ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

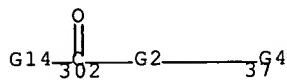
PRIORITY APPLN. INFO.: US 2004-610796P 20040917
 GI



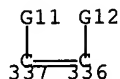
AB Compds. and compns. are provided for treatment or amelioration of one or more symptoms of α -synuclein toxicity, α -synuclein mediated diseases or diseases in which α -synuclein fibrils are a symptom or cause of the disease. In one embodiment, the compds. for use in the compns. and methods are heteroaryl acylguanidines, heteroarylhydrazones, dihydropyridones, heteroaryl and aryl

styryl ketones, and heteroarylpyrazoles. One class of the compds. claimed is represented by the general formula I (wherein, X = O, S or NR, where R = H, alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl or aralkyl; Y = NRR' or OH; where R' = H, alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl or aralkyl; Z = a direct bond or NR; R1 = H, alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, aralkyl, aralkenyl, heteroaralkyl or heteroaralkenyl; n = 0-4; R2 = (i) H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, heteroarylium, etc. or [ii] any 2 R2 groups, which substitute adjacent atoms on the ring, together form alkylene, alkenylene, alkynylene or heteroalkylene; R3 = H, alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl or heteroaryl; wherein X, Y, Z, R1, R2 and R3 are each independently unsubstituted or substituted). Methods for preparing the various classes of heterocycles are exemplified. In an assay that measured the ability of the compds. to rescue humanized yeast cells from α -synuclein toxicity, the compds. of the invention had MRC (min. rescue concentration) values of < 300 μ M.

MSTR 4



G2 = 337-302 336-37



G12 = NH2 (opt. substd.)

G14 = thienyl

Patent location:

claim 33

Note:

additional substitution also claimed

Note:

or pharmaceutically acceptable derivatives

L47 ANSWER 2 OF 18 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 143:326218 MARPAT Full-text

TITLE: Preparation of fluorenone 1,4-dihydropyridine derivatives for use as cardiovascular agents

INVENTOR(S): Ergueden, Jens-Kerim; Kolchhof, Peter; Sandner, Peter; Kuhl, Alexander; Stasch, Johannes-Peter; Pook, Elisabeth; Schlemmer, Karl-Heinz

PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany

SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

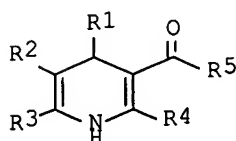
DOCUMENT TYPE: Patent

LANGUAGE: German

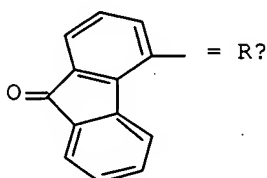
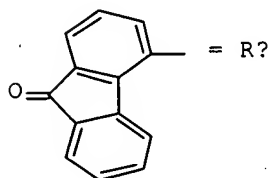
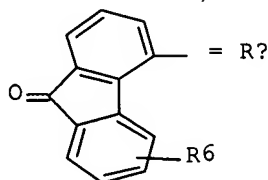
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005087740	A1	20050922	WO 2005-EP2129	20050301
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 102004012365	A1	20050929	DE 2004-10200401236520040313	
PRIORITY APPLN. INFO.:			DE 2004-10200401236520040313	
GI				



I



AB The invention relates to substituted dihydropyridines I [R1 = Ra, Rb, Rc; R2 = CN, (un)substituted 5- to 7-membered heterocycle, 5- to 10-membered heteroaryl, C(:O)R7; R3, R4 = NH2, CF3, Me, Et, (C1-3-alkyl)-OCH2Z, (C1-3-alkyl)-SCH2Z; R5 = (un)substituted C1-6-alkyl, C3-7-cycloalkyl, OR10; R6 = H, halogen; R7 = 5- to 7-membered heterocycle, 5- to 10-membered heteroaryl, NR8R9; R8 = H, C1-6-alkyl; R9, R10 = C1-6-alkyl, C3-7-cycloalkyl, C6-10-aryl, 5- to 7-membered heterocycle, 5- to 10-membered heteroaryl], and their salts, solvates or solvate salts, and methods for the production and use thereof in the treatment and/or prophylaxis of diseases, in addition to the use thereof in the production of medicaments for the treatment and/or prophylaxis of diseases, particularly cardiovascular diseases. The procedure for the preparation of, comprises: (A) a one-pot reaction of R1CHO with R2CH:CR3NH2 (R2 and R3 = cis) and R5C(:O)CH2C(:O)R4; or (B) a two stage reaction of R1CHO with R5C(:O)CH2C(:O)R4 in the first stage and R2CH:CR3NH2 (R2 and R3 = cis) in the second stage; or (C) reaction of R1CHO with R2CH:CR3ONa (R2 and R3 = cis) and H2NCR4:CHC(:O)R5 [R4 and C(:O)R5 = cis]. Thus, (-)-I [R1 = Ra, R2 = CN, R3 = R4 = Me, R5 = OCHMe2] was prepared from Me 9-oxo-9H-fluorene-4-

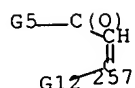
10/523,287

carboxylate via reduction with RED-Al, cyclocondensation with MeC(NH₂):CHCN and MeC(:O)CH₂CO₂CHMe₂ and chromatog. resolution The cardiovascular activity of (-)-I [R₁ = Ra, R₂ = CN, R₃ = R₄ = Me, R₅ = OCHMe₂] was determined [IC₅₀ = 15 nM vs. mineralocorticoid receptor].

MSTR 3

G1—G2

G1 = NH₂
G2 = 257



G5 = thienyl
Patent location:
Note:

claim 4
oxo and thioxo substitution also claimed

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L47 ANSWER 3 OF 18 MARPAT COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 143:194019 MARPAT Full-text
TITLE: Two-phase method for the synthesis of pyrazolopyrimidine derivatives via heterocyclization of aminopyrazoles with propenone derivatives
INVENTOR(S): Cantrell, Gary Lee; Moser, Frank William; Halvachs, Robert Edward
PATENT ASSIGNEE(S): Mallinckrodt Inc., USA
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005070931	A1	20050804	WO 2004-US40241	20041202
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ,				

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DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC,
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GN, GQ, GW, ML, MR, NE, SN, TD, TG

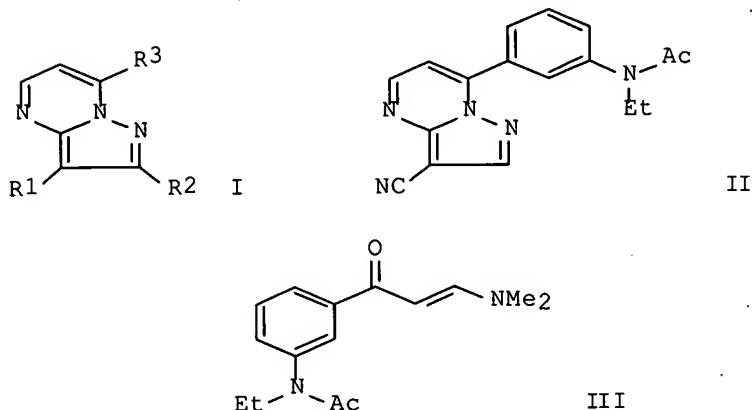
AU 2004314335	A1	20050804	AU 2004-314335	20041202
CA 2553465	A1	20050804	CA 2004-2553465	20041202
EP 1713808	A1	20061025	EP 2004-812693	20041202

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS

PRIORITY APPLN. INFO.:

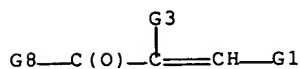
US 2004-536302P 20040114
WO 2004-US40241 20041202

GI



AB The invention relates to a two-phase method for the synthesis of pyrazolopyrimidine derivs. of formula I [wherein: R¹ is H, F, Cl, formyl, carboxyl, or CN, etc.; R² is H, F, CN, cyanomethyl, or carbamoyl, etc.; R³ is Ph, o-trifluoromethylphenyl, m-methoxyphenyl, or pyridyl, etc.], useful as anxiolytics, anticonvulsants, or muscle relaxants, etc. (no data). The invention compds. were prepared via heterocyclization of aminopyrazole derivs. or a salt thereof with 1-oxo-2-propenyl-arene(heterocycle) under acidic conditions in a reaction medium including a two-phase mixture of an aqueous solution and a water-immiscible organic liquid. For instance, pyrazolopyrimidine derivative II (zaleplon) was prepared via heterocyclization of N-[(oxopropenyl)phenyl]-N-ethylacetamide III with 3-amino-4-cyanopyrazole in 2-phase mixture consisting of water, 2-butanone, and heptafluorobutyric acid with a yield of 100%.

MSTR 3



G₁ = NH₂

G8 = thienyl

Patent location:

claim 15

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L47 ANSWER 4 OF 18 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 143:78203 MARPAT Full-text

TITLE: Preparation of 2-(benzoylphenylamino)-3-
(heterocyclylpropynylaryl)propionates as
peroxisome proliferator mediated receptor (PPAR)
activators for treatment of diabetes.

INVENTOR(S): Salman, Mohammad; Sattigeri, Jitendra A.

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

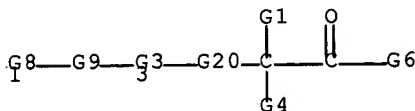
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005056536	A1	20050623	WO 2004-IB3861	20041124
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

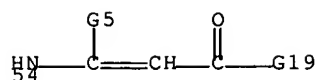
PRIORITY APPLN. INFO.: US 2003-528303P 20031210

AB AXBYCR1R4COR6 [A = alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, acyl,
acyloxy, aryl, heterocyclyl; X = (CH₂)_nO(CH₂)_nCH₂C.tplbond.C,
NR(CH₂)_nCH₂C.tplbond.C, (CH₂)_nCH₂C.tplbond.C; n = 0-3; R = H, alkyl; B = aryl,
heterocyclyl; Y = (CH₂)_m; m = 1-3; R₁ = H, alkyl; R₆ = OR₂, NR₂R₃; R₂, R₃ = H,
alkyl; NR₂R₃ = heterocyclyl; R₄ = NHDCOE, NHCR₅:CHCO₂H, etc.; R₅ = alkyl; D, E
= (substituted) Ph, naphthyl, thienyl, pyridinyl, thiazolyl], were claimed (no
data).

MSTR 1



G4 = 54



G19 = thienyl
G20 = (1-3) CH₂

Patent location:

Note:

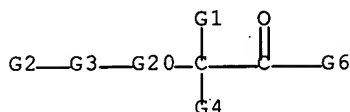
claim 1

or pharmaceutically acceptable salts,
pharmaceutically acceptable solvates, N-oxides,
polymorphs or metabolites

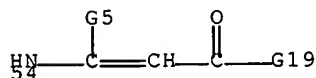
Stereochemistry:

or enantiomers

MSTR 2



G4 = 54



G19 = thienyl
G20 = (1-3) CH₂

Patent location:

claim 26

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR
THIS RECORD. ALL CITATIONS AVAILABLE IN THE
RE FORMAT

L47 ANSWER 5 OF 18 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 142:155944 MARPAT Full-text

TITLE: Preparation of pyrazole derivatives as CCK-1
receptor modulators for the treatment of
gastrointestinal and CNS disorders

INVENTOR(S): Choudhury, Anusuya; Grimm, Jeffrey S.; Jones, Todd
K.; Liang, Jimmy T.; Mani, Neelakandha; Sorgi,
Kirk L.

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 353 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005005393	A2	20050120	WO 2004-US21020	20040630
WO 2005005393	A3	20050224		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004256106	A1	20050120	AU 2004-256106	20040630
CA 2530737	A1	20050120	CA 2004-2530737	20040630
US 2005020565	A1	20050127	US 2004-882077	20040630
US 2005026903	A1	20050203	US 2004-881628	20040630
EP 1641762	A2	20060405	EP 2004-756436	20040630

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

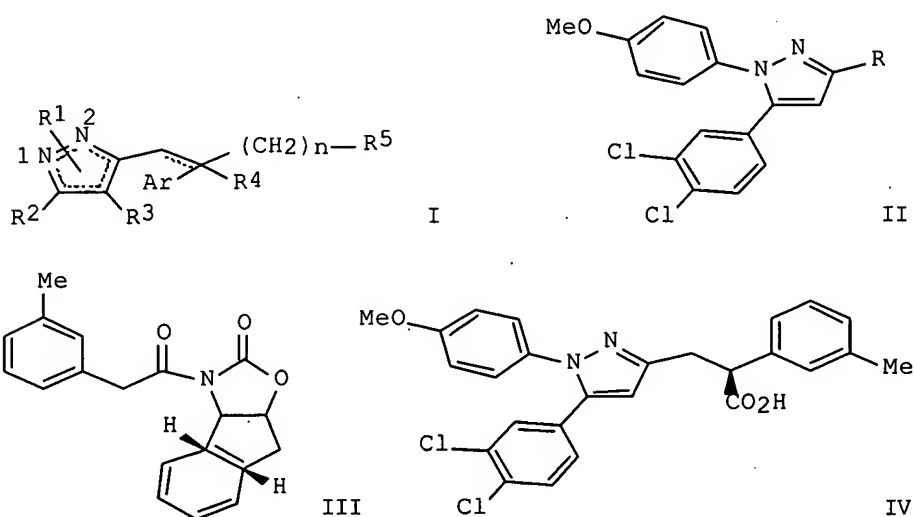
BR 2004012269	A	20060905	BR 2004-12269	20040630
CN 1845901	A	20061011	CN 2004-80024831	20040630
NO 2006000557	A	20060323	NO 2006-557	20060202

PRIORITY APPLN. INFO.:

US 2003-484319P	20030702
US 2003-484370P	20030702
WO 2004-US21020	20040630

OTHER SOURCE(S):
GI

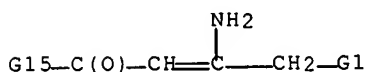
CASREACT 142:155944



AB The invention relates to certain pyrazole based CCK-1 receptor modulators I [wherein R1 (1- or 2-position) = (un)substituted Ph, naphthyl, cycloalkyl, heterocyclyl or alkyl; R2, Ar = (un)substituted Ph, naphthyl, cycloalkyl or

heterocyclyl; R3 = H, halo or alkyl; n = 0-2; R4 = H, halo, alkyl or absent when the double bond is present; R5 = COOH, ester, amide or certain triazolylsulf(a/o/i)nyl; etc., or enantiomers, diastereomers and pharmaceutically acceptable salts and esters thereof] and methods for their preparation. For example, condensation of 3,4-dichloroacetophenone with di-Et oxalate in the presence of LiHMDS followed by regioselective cyclization with 4-methoxyphenylhydrazine hydrochloride gave pyrazole II (R = COOEt). This ester was then converted to iodide II (R = CH2I) via DIBAL reduction, mesylation with methanesulfonyl chloride and substitution with NaI. Enantioselective alkylation of chiral oxazolidinone III (preparation given) with II (R = CH2I) followed by hydrolysis mediated by H2O2-LiOH afforded IV. Sodium salt of IV showed affinity for CCK-1 receptor with pKi of 8.0. Therefore, I are useful in treating diseases mediated by CCK receptors, such as gastrointestinal and CNS disorders.

MSTR 4



G15 = thienyl

Patent location: claim 299

L47 ANSWER 6 OF 18 MARPAT COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 138:304276 MARPAT Full-text
 TITLE: Preparation of pyrazoles as glycine transporter protein inhibitors for the treatment of neurodegenerative diseases
 PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany; Yamanouchi Pharmaceutical Co.
 SOURCE: Ger. Offen., 62 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

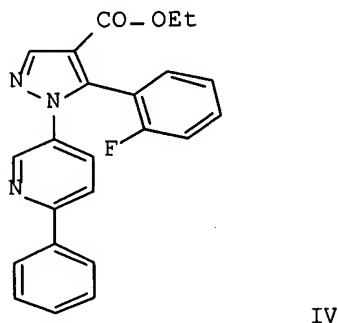
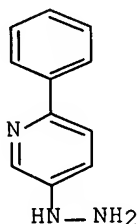
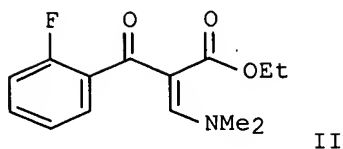
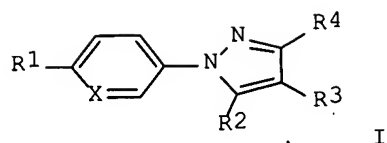
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10149370	A1	20030410	DE 2001-10149370	20011006
WO 2003031435	A1	20030417	WO 2002-EP10172	20020911
WO 2003031435	A8	20030515		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

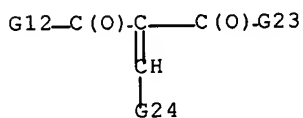
DE 2001-10149370 20011006

GI



AB Title compds. I [X = CH, N; R1 = H, A, halo, etc.; R2 = Ph, p-chlorophenyl; R3, R4 = H, (CH2)_nCO2R5, CHO, etc.; R5 = H, A; A = alkyl, alkenyl, alkoxyalkyl, etc.; n = 0-5] and their pharmaceutically acceptable salts were prepared For example, condensation of enamine II e.g., prepared from 1,1-dimethoxy-N,N-dimethylmethanamine and 2-fluoro-β-oxo-benzenepropanoic acid Et ester, and aryl hydrazine III, e.g., prepared from 2-chloro-5-nitropyridine in 3-steps, provided pyrazole IV (no yield provided). In glycine transporter protein inhibition studies, approx. 71-examples of compds. I exhibited IC50 values ranging from 0.15 - 8.7 μM, e.g., the IC50 value of pyrazole IV = 2.5 μM. Compds. I are claimed useful for the treatment of schizophrenia, depression, dementia, etc.

MSTR 3



G12 = thienyl

G24 = NH2

Patent location:

claim 9

L47 ANSWER 7 OF 18 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 136:336180 MARPAT Full-text

TITLE: Diabetes diagnosis by genotyping insulin receptor

10/523,287

gene single-nucleotide polymorphisms
 INVENTOR(S): Hosford, David; Purvis, Ian James
 PATENT ASSIGNEE(S): Glaxo Group Limited, UK
 SOURCE: PCT Int. Appl., 61 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

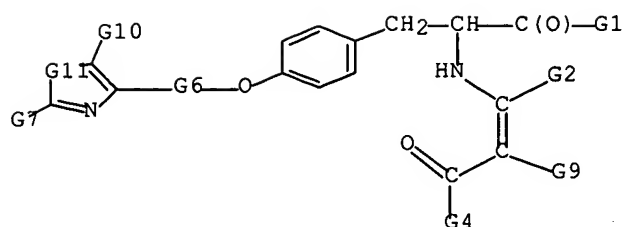
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002033121	A2	20020425	WO 2001-GB4660	20011019
WO 2002033121	A3	20031016		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001095752	A5	20020429	AU 2001-95752	20011019
PRIORITY APPLN. INFO.:			GB 2000-25678	20001019
			WO 2001-GB4660	20011019

AB The invention provides a method of diagnosing diabetes or susceptibility to diabetes in an individual, comprising typing (i) the insulin receptor gene region or (ii) the insulin receptor protein of the individual. The invention also provides a diagnostic kit that comprises a polynucleotide, probe, primer, antibody (including an antibody fragment) or agent as defined herein. The invention also provides a nonhuman animal which has diabetes (typically type II diabetes) or is susceptible to diabetes and which is also transgenic for a polymorphism as mentioned above. The invention provides a method for treating a patient who has been diagnosed as having or being susceptible to diabetes by a method of the invention, comprising administering an effective amount of an anti-diabetes agent or an agent that prevents the development of diabetes to the patient. The inventors have shown that naturally occurring polymorphisms in the insulin receptor are functional. These functional polymorphisms are associated with migraine, a condition that is overrepresented in diabetics. The inventors isolated 48 single-nucleotide polymorphisms within the locus, of which we genotyped in a Caucasian population comprising 827 unrelated cases and 765 controls. Five single-nucleotide polymorphisms within the insulin receptor gene showed significant association with migraine. This association was independently replicated in a case-control population collected sep.

MSTR 2



G4 = thienyl

Patent location:

claim 15

L47 ANSWER 8 OF 18 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 135:344368 MARPAT Full-text

TITLE: Process for the regioselective synthesis of
3,4-diaryl substituted thiophenes

INVENTOR(S): Brown, David L.; Ludwig, Cindy L.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001081333	A2	20011101	WO 2001-US13092	20010420
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002183362	A1	20021205	US 2001-839424	20010420
EP 1276736	A2	20030122	EP 2001-928781	20010420
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 6600052	B1	20030729	US 2001-838986	20010420
JP 2003531202	T	20031021	JP 2001-578424	20010420
US 2003232996	A1	20031218	US 2003-258507	20030416
PRIORITY APPLN. INFO.:				
			US 2000-199533P	20000425
			US 2000-253380P	20001127
			WO 2001-US13092	20010420

OTHER SOURCE(S): CASREACT 135:344368

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AU 9957310	A1	20000228	AU 1999-57310	19990805
EP 1102757	A1	20010530	EP 1999-944335	19990805
EP 1102757	B1	20040414		

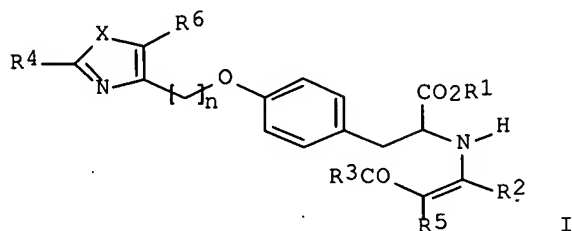
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
PT, IE, SI, LT, LV, FI, RO

TR 200100372	T2	20010921	TR 2001-200100372	19990805
BR 9912866	A	20011030	BR 1999-12866	19990805
HU 200103469	A2	20020128	HU 2001-3469	19990805
EE 200100074	A	20020617	EE 2001-74	19990805
AT 264313	T	20040415	AT 1999-944335	19990805
ES 2220110	T3	20041201	ES 1999-944335	19990805
ZA 2001000983	A	20020305	ZA 2001-983	20010205
NO 2001000628	A	20010406	NO 2001-628	20010206
HR 2001000095	A1	20020228	HR 2001-95	20010207
US 6498174	B1	20021224	US 2001-762445	20010222

PRIORITY APPLN. INFO.:

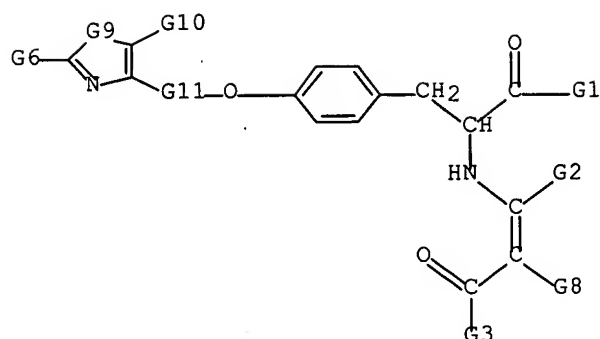
GB 1998-17118	19980807
WO 1999-EP5666	19990805

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AB The title compds. [I; R1 = H, alkyl; R2 = H, alkyl, haloalkyl; R3 = alkyl, cycloalkyl, cycloalkenyl, etc.; R4 = (un)substituted 5-6 membered heterocyclyl containing at least one O, N or S atom, Ph; R5 = H, halo, alkyl, haloalkyl; R6 = H, alkyl; X = O, S; n = 1-3], which are dual activators of hPPAR γ and hPPAR α , were prepared. Thus, refluxing a suspension of (2S)-2-amino-3-[4-[2-(5-methyl-2-phenyl-1,3-oxazol-4-yl)ethoxy]phenyl]propanoic acid (preparation given) and benzoylacetone in MeOH and trimethylorthoformate afforded 43% (2S)-(Z)-I [R1 = H; R2 = Me; R3 = Ph; R4 = Ph; R5 = H; R6 = Me; X = O; n = 2] which showed 39% glucose reduction in rats.

MSTR 1



G3 = thienyl (opt. substd. by 1 or more G12)

Derivative: or tautomers, pharmaceutically acceptable salts, or solvates

Patent location: claim 1

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L47 ANSWER 11 OF 18 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 130:291600 MARPAT Full-text

TITLE: Amides, bone formation promoters containing them, and their use as antiosteoporotic agents

INVENTOR(S): Shibata, Saizo; Omori, Fujimi; Nakagawa, Takashi

PATENT ASSIGNEE(S): Japan Tobacco, Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 45 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

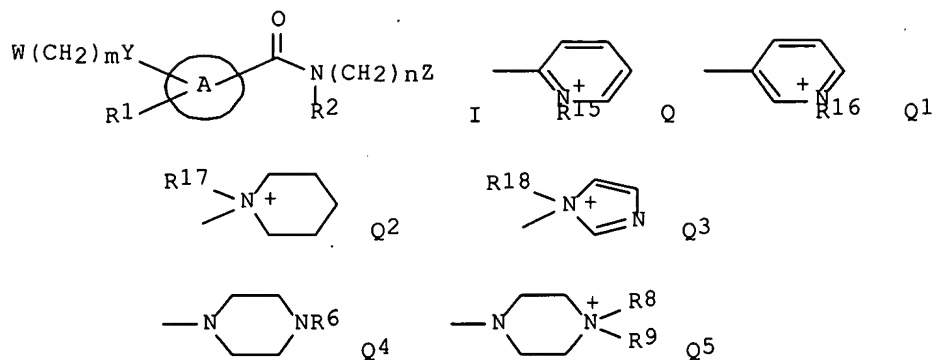
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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JP 11080107	A	19990326	JP 1997-251360	19970901
PRIORITY APPLN. INFO.: .			JP 1997-251360	19970901

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AB Bone formation promoters contain amides I [W = H, amino, NHCOR3 (R3 = lower alkyl), lower alkoxy, carbonyl, cycloalkyl, naphthyl, morpholino, thienyl, phthalimido, benzoyl, benzyloxy, C6H4R4 (R4 = H, halo, lower alkyl, lower alkoxy); Y = O, NHCO2, NHCO, CONH, CO, CO2, OCO, CO(CH:CH)u (u = 1, 2), direct bond; ring A = benzene, naphthalene, cyclohexane, biphenyl, di-Ph ether, pyridine, isoxazole, thiophene; R1 = H, halo, NO2, lower alkyl, lower alkoxy; R2 = H, lower alkyl; Z = halo, OH, lower alkyl, lower alkoxy, lower alkoxy, carbonyl, carboxy; NR5R6 [R5, R6 = H, (hydroxy)alkyl, aryl, lower alkyl, carbonyl], N+R7R8R9 [R7, R8 = lower alkyl, aralkyl; R9 = lower alkyl, (halo)aralkyl, aryl, carbonyl, alkyl], SR10 (R10 = lower alkyl, aralkyl), SO2R11 (R11 = lower alkyl, aralkyl), SOR12 (R12 = lower alkyl, aralkyl), S+R13R14 (R13, R14 = lower alkyl), morpholino, pyridyl, pyridinio, Q (R15 = lower alkyl), Q1 (R16 = lower alkyl), Q2 (R17 = lower alkyl), Q3 (R18 = lower alkyl); R2 and R5 may be bonded to each other to form Q4 (R6 = any group given above); R2 and R7 may be bonded to each other to form Q5 (R8, R9 = any group given above), m = 0-20; n = 0-4] or their pharmaceutically acceptable salts as active ingredients. Pharmaceutical compns. and antiosteoporotic agents containing I or their salts are also claimed. N-[2-(dimethylamino)ethyl]4-(nonyloxy)benzamide hydrochloride (preparation given) at 3 μ M showed 244% osteoblast growth promoting activity.

MSTR 1

$$G1-G17-G(0)-G38$$

G1 = 11

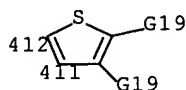
$$1G8-1G6$$

G6 = NH2

G8 = 25-2 26-12

$$2G(0)G10$$

G10 = (1-2) CH=CH
 G17 = 412-1 411-3



Patent location: claim 1
 Note: substitution is restricted

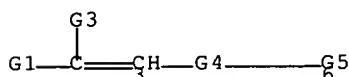
L47 ANSWER 12 OF 18 MARPAT COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 128:91873 MARPAT Full-text
 TITLE: Tin-silver alloy electroplating noncyanide baths containing surfactants
 INVENTOR(S): Masaki, Seiji; Kondo, Tetsuya; Nawafune, Hidemi
 PATENT ASSIGNEE(S): Daiwa Kasei Kenkyusho K. K., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 23 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09302498	A	19971125	JP 1996-143481	19960515
JP 3538499	B2	20040614		

PRIORITY APPLN. INFO.: JP 1996-143481 19960515

AB Claimed electroplating baths contain ≥ 1 of surfactants and (I) divalent Sn compds. and monovalent Ag compds., (II) Sn compound stabilizers selected from (a) C0-3 aliphatic dicarboxylic acids, (b) C1-2 aliphatic hydroxymonocarboxylic acids, (c) C1-3 aliphatic hydroxypolycarboxylic acids, (d) monosaccharides, their partially oxidized polyhydroxycarboxylic acids, or their cyclic ester compds., (e) C1-4 aliph mono or di-amino, mono or di-carboxylic acids or , (f) C2-3 aliphatic monomercaptomonocarboxylic acids, aliphatic monomercaptodicarboxylic acids, or aliphatic monomercaptomonoaminomonocarboxylic acids, (g) C2-3 aliphatic monosulfomonocarboxylic acids or aliphatic monosulfodicarboxylic acids, (h) amine carboxylic acids, e.g., EDTA, IDA, NTA, (i) condensed phosphoric acid, (j) C1-3 hydroxyalkanebisphosphonic acids or their salts, and (III) Ag compound stabilizers selected from (a) thiourea or C1-3 mono or di-alkylthiourea, (b) thiosulfate, (c) iodine compds., and (d) Br compds. Resulting products have good surface smoothness and film adhesion.

MSTR 14



G1 = NH2
 G4 = C(O)
 G5 = thienyl (opt. substd.)
 Patent location: claim 3
 Note: additional ring formation also claimed

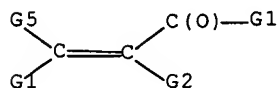
L47 ANSWER 13 OF 18 MARPAT COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 125:328287 MARPAT Full-text
 TITLE: Preparation of aromatic β -amino enones
 INVENTOR(S): Seko, Shinzo; Myake, Kunihiro
 PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08225502	A	19960903	JP 1995-111664	19950510
PRIORITY APPLN. INFO.:			JP 1995-111664	19950510
			JP 1994-319959	19941222

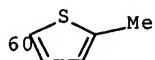
OTHER SOURCE(S): CASREACT 125:328287

AB R5NHCRI:CR3COR2 [I; R1, R2 = (substituted) aryl; R3 = H, (substituted) C1-10 alkyl or aryl; R5 = H, C1-6 alkyl, cycloalkyl, aralkyl] are prepared by treating R4OR5NCHR1CHR3COR2 (II; R1-3, R5 = same as I; R4 = C1-6 alkyl, aralkyl) with Me3COK or Me3CONa in aprotic polar solvents or ether solvents. II may be prepared by reaction of R1CH:CR3COR2 (R1-3 = same as I) with R5NHOR4 (R4, R5 = same as above). Refluxing an EtOH solution of chalcone and NH2OMe for 4 h gave 99% II (R1 = R2 = Ph, R3 = R5 = H, R4 = Me), which was treated with Me3COK in DMF at 25° for 10 min to give 55% I (R1 = R2 = Ph, R3 = R5 = H).

MSTR 2



G1 = 60

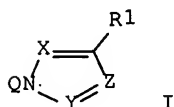


G5 = NH2
 Patent location: claim 1

L47 ANSWER 14 OF 18 MARPAT COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 125:195641 MARPAT Full-text
 TITLE: Preparation of 5-member heteroaromatic compounds
 useful as dopamine receptor-subtype ligands
 INVENTOR(S): Carling, William Robert; Leeson, Paul David;
 Moore, Kevin William
 PATENT ASSIGNEE(S): Merck Sharp and Dohme Limited, UK
 SOURCE: PCT Int. Appl., 41 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9621660	A1	19960718	WO 1996-GB6	19960103
W:	AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN			
AU 9643123	A	19960731	AU 1996-43123	19960103
US 5939436	A	19990817	US 1997-875059	19970625
PRIORITY APPLN. INFO.:			GB 1995-580	19950112
			WO 1996-GB6	19960103
			WO 1997-EP678	19970213

GI

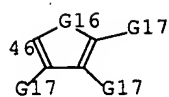


AB The title compds. [I; Q = substituted 5-7-member monocyclic heteroaliph. ring; R1 = (un)substituted Ph, (un)substituted pyridyl, (un)substituted furyl, etc.; X = N, CR1; Y:Z = N:CR1, N:N, HC:N], which are ligands for dopamine receptor subtypes (e.g., D4; I demonstrate a K_i against the binding of [3H]-spiperone to cloned human D4 dopamine receptor of $<1.5 \mu\text{M}$) and are useful in the treatment and/or prevention of schizophrenia (no data) and depression (no data), are prepared Thus, 1-benzyl-4-[(5-methyl-4-phenyl)pyrazol-1-yl]piperidine dihydrochloride, m.p. $198-201^\circ$, was prepared from 4-hydroxypiperidine in 5 steps.

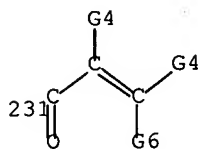
MSTR 4

G2—G1

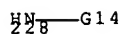
G1 = 46



G2 = 231



G6 = 228



G14 = Me

G16 = S

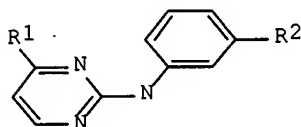
Patent location: claim 10

L47 ANSWER 15 OF 18 MARPAT COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 123:169650 MARPAT Full-text
 TITLE: Preparation of N-(fluroralkoxyphenyl)-2-pyrimidineamines as drugs
 INVENTOR(S): Zimmermann, Juerg
 PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
 SOURCE: PCT Int. Appl., 23 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9509852	A1	19950413	WO 1994-EP3149	19940921
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, UZ, VN				
RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5543520	A	19960806	US 1994-306333	19940915
CA 2148477	A1	19950413	CA 1994-2148477	19940921

10/523,287

AU 9476975	A	19950501	AU 1994-76975	19940921
AU 693804	B2	19980709		
EP 672040	A1	19950920	EP 1994-927633	19940921
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08504834	T	19960528	JP 1995-510576	19940921
PRIORITY APPLN. INFO.:			CH 1993-2966	19931001
			CH 1994-2278	19940718
			WO 1994-EP3149	19940921
OTHER SOURCE(S):		CASREACT 123:169650		
GI				



AB Title compds. [I; R1 = (N-oxido) 4-pyridyl, 3-indolyl, isoquinolyl, thienyl, pyrrolyl; R2 = fluoroalkoxy] were prepared as protein kinase C and tyrosine kinase inhibitors, etc. Thus, 3-(F2HCF2CO)C6H4NH2 was condensed with H2NCN and the guanidine product cyclocondensed with R1COCH:CHNMe2 (R1 = 4-pyridyl) to give I (R1 = 4-pyridyl, R2 = OCF2CHF2). I had IC50 of .apprx.0.1 to 9μmol/L against protein kinase C in vitro.

MSTR 2

G1—C(O)—CH=CH—G3

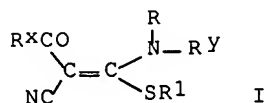
G1 = thienyl
G3 = NH2

Derivative: or salts
Patent location: claim 14

L47 ANSWER 16 OF 18 MARPAT COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 121:255263 MARPAT Full-text
TITLE: preparation of acrylonitriles as antifungals
INVENTOR(S): Tokunaga, Yukio; Shibata, Taku; Yoshida, Fumitaka;
Ito, Shigehisa; Suzuki, Chiharu; Sakai,
Mitsuyoshi; Hasegawa, Keisuke; Hayashi, Shigeru
PATENT ASSIGNEE(S): Kumiai Chemical Industry Co, Japan; Ihara Chemical
Ind Co
SOURCE: Jpn. Kokai Tokkyo Koho, 63 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

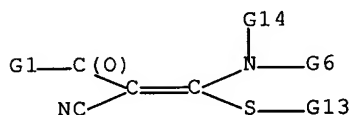
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06087821	A	19940329	JP 1992-351381	19921208
JP 3397352	B2	20030414		
PRIORITY APPLN. INFO.:			JP 1992-32877	19920124
			JP 1992-214695	19920721

GI



AB The title compds. [I; R = H, C1-6 alkyl, alkoxy-carbonyl; R1 = alkyl, alkenyl, benzyl; Rx = substituted aryl, heterocyclyl; Ry = substituted aryl, pyridinyl, pyrimidinyl] are prepared Thus, a solution of [2-(trifluoromethyl)benzoyl]acetonitrile in DMF containing NaH was stirred at room temperature for 30 min, 3,4-dichlorophenyl isothiocyanate in DMF was added, and the resulting mixture was stirred at room temperature for 2 h to give, after treatment with MeI, I [R = H, R1 = Me, R2 = CF3, R3 = C12-3,4]. This at 500 ppm effected 77% kill against *Pyricularia oryzae*.

MSTR 1



G1 = thienyl (substd. by (1-2) G4)

G14 = acyl

Patent location: claim 1

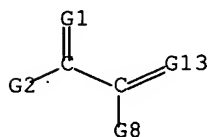
L47 ANSWER 17 OF 18 MARPAT COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 117:69570 MARPAT Full-text
 TITLE: Preparation of 1-aryl-3-hydroxylamino-2-propen-1-ones and analogs as 5-lipoxygenase inhibitors
 INVENTOR(S): Magolda, Ronald L.; Wright, Stephen W.
 PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Co., USA
 SOURCE: U.S., 11 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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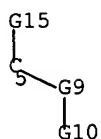
 US 5110831 A 19920505 US 1990-621152 19901130
 PRIORITY APPLN. INFO.: US 1990-621152 19901130

AB R1C(:X)CR3:CR4NR5OR7 [R1 = (cyclo)alkyl, OH, alkoxy, NH2, naphthyl, pyridyl, furyl, thienyl, (substituted) Ph, etc.; R3, R4 = H, groups cited for R1; or R3R4 = atoms to complete a ring; R5 = H, Ph, PhCH2, (cyclo)alkyl, etc.; R7 = H, COR8, SO2R8, cation; R8 = groups cited for R1; X = O, S] were prepared thus, 4-(PhH2CO)C6H4COMe was refluxed with Me2NCH(OMe)2 and the product condensed with HONHMe to give 4-RC6H4COCH:CHN(OH)Me (I; R = OCH2Ph). I (R = Ph) had IC50 of 0.06 μ M against 5-lipoxygenase in vitro.

MSTR 2A



G1 = O
 G2 = thienyl
 G13 = 5



G15 = NH2

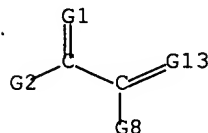
Derivative:

Patent location:

Stereochemistry:

and pharmaceutically acceptable salts
 disclosure
 and stereoisomers

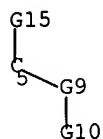
MSTR 2C



G1 = O
 G2 = thienyl
 G9 = NH
 G10 = 26

2814-G11

G13 = 5



G14 = C(O)

Derivative: and pharmaceutically acceptable salts
 Patent location: disclosure
 Stereochemistry: and stereoisomers

L47 ANSWER 18 OF 18 MARPAT COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 83:131629 MARPAT Full-text
 TITLE: 1-Phenoxy-3-aminopropan-2-ol derivatives
 INVENTOR(S): Raabe, Thomas; Graewinger, Otto; Scholtholt, Josef; Nitz, Rolf E.; Schraven, Eckhard
 PATENT ASSIGNEE(S): Cassella Farbwerke Mainkur A.-G., Fed. Rep. Ger.
 SOURCE: Ger. Offen., 53 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2458738	A1	19750626	DE 1974-2458738	19741212
NL 7416375	A	19750624	NL 1974-16375	19741216
JP 50095283	A	19750729	JP 1974-145066	19741219
AU 7476662	A	19760624	AU 1974-76662	19741219
GB 1443488	A	19760721	GB 1974-54909	19741219
ES 433129	A1	19770216	ES 1974-433129	19741219
ES 433130	A1	19770216	ES 1974-433130	19741219
ES 433128	A1	19770301	ES 1974-433128	19741219
CH 603598	A5	19780831	CH 1974-16966	19741219
CH 605825	A5	19781013	CH 1974-16967	19741219
CH 605826	A5	19781013	CH 1974-16968	19741219
RO 68394	A1	19810622	RO 1974-80868	19741219
RO 68396	A1	19810730	RO 1974-80869	19741219
RO 68395	A1	19820706	RO 1974-80867	19741219
PL 98633	B1	19780531	PL 1974-176695	19741220
			LU 1973-69042	19731220

PRIORITY APPLN. INFO.:

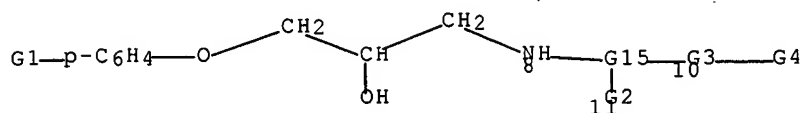
GI For diagram(s), see printed CA Issue.

AB Pyrimidines I (R = 2-OEt, 4-OBu, 4-NHAc, 4-OC5H11, 2-Cl, 4-Cl, 4-OMe, H, 4-OPr, 4-OCHMe2, 2-OMe, 3-OBu, 2-F, 4-OC8H17, 4-CMe3, 3-Cl, 3-OMe, 4-Br, 4-OEt, 4-OCH2Ph; X = CMe:CHCO) were prepared by treating II with RC6H4OCH2CH(OH)CH2NH2 and were reduced to I (X = CHMeCH2CHOH). I are β-

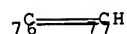
10/523,287

sympatholytics. Thus I (X = CHMeCH₂CHOH, R = 4-OPr) had a β 1-receptor blocking ED50 of 0.0036 mg/kg and a β 2-receptor blocking ED50 of 0.48 mg/kg i.v. in dogs.

MSTR 1



G3 = C(O)
 G4 = 2-thienyl
 G15 = 76-8 77-10 76-11

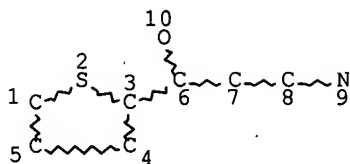


Patent location:
 Note:

claims
 record may include structures from disclosure

=> d que 137

L9 STR



NODE ATTRIBUTES:

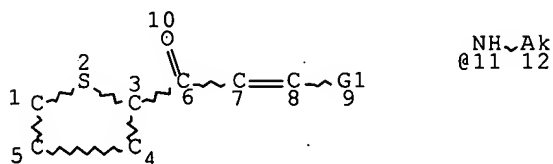
NSPEC IS RC AT 7
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I
 NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE

L11 2111 SEA FILE=REGISTRY SSS FUL L9
 L23 STR



VAR G1=NH2/11

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC I
 NUMBER OF NODES IS 12

STEREO ATTRIBUTES: NONE

L26 54 SEA FILE=REGISTRY SUB=L11 SSS FUL L23
 L27 40 SEA FILE=HCAPLUS ABB=ON PLU=ON L26
 L29 78 SEA FILE=HCAPLUS ABB=ON PLU=ON KOGAMI, K?/AU
 L30 5 SEA FILE=HCAPLUS ABB=ON PLU=ON HAYASHIZAKA, N?/AU
 L31 421 SEA FILE=HCAPLUS ABB=ON PLU=ON SATAKE, S?/AU
 L32 2 SEA FILE=HCAPLUS ABB=ON PLU=ON FUSEYA, I?/AU
 L33 37 SEA FILE=HCAPLUS ABB=ON PLU=ON KAGANO, H?/AU
 L34 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L29 AND L30 AND L31 AND
 L32 AND L33
 L35 1 SEA FILE=HCAPLUS ABB=ON PLU=ON ((L29 OR L30 OR L31 OR
 L32 OR L33)) AND L27
 L36 4 SEA FILE=HCAPLUS ABB=ON PLU=ON ((L29 OR L30 OR L31 OR
 L32 OR L33)) AND THIENYL?

10/523,287

L37 4 SEA FILE=HCAPLUS ABB=ON PLU=ON L34 OR L35 OR L36

(FILE 'EMBASE, BIOSIS, DRUGU, MEDLINE, WPIX, SCISEARCH, LIFESCI'
ENTERED AT 14:09:04 ON 09 JAN 2007)

=> d que 144

L39 33 SEA KOGAMI, K?/AU
L40 9 SEA HAYASHIZAKA, N?/AU
L41 1500 SEA SATAKE, S?/AU
L42 3 SEA FUSEYA, I?/AU
L43 43 SEA KAGANO, H?/AU
L44 6 SEA ((L39 OR L40 OR L41 OR L42 OR L43)) AND THIENYL?

=> dup rem 137 144

FILE 'HCAPLUS' ENTERED AT 14:15:34 ON 09 JAN 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE 'WPIX' ENTERED AT 14:15:34 ON 09 JAN 2007
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FILE 'SCISEARCH' ENTERED AT 14:15:34 ON 09 JAN 2007
Copyright (c) 2007 The Thomson Corporation
PROCESSING COMPLETED FOR L37
PROCESSING COMPLETED FOR L44
L48 6 DUP REM L37 L44 (4 DUPLICATES REMOVED)
ANSWERS '1-4' FROM FILE HCAPLUS
ANSWERS '5-6' FROM FILE SCISEARCH

=> d 148 1-6 ibib ab hitstr hitrn ind

L48 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 2004:162681 HCAPLUS Full-text
DOCUMENT NUMBER: 140:199199
TITLE: Process for preparation of N-monoalkyl-3-hydroxy-3-(2-thienyl)propanamines
INVENTOR(S): Kogami, Kenji; Hayashizaka, Noriyuki; Satake, Syuzo; Fuseya, Ichiro; Kagano, Hirokazu
PATENT ASSIGNEE(S): Sumitomo Seika Chemicals Co., Ltd., Japan
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004016603	A1	20040226	WO 2003-JP8950	20030715
W: CA, CN, JP, US				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2493776	A1	20040226	CA 2003-2493776	20030715
EP 1541569	A1	20050615	EP 2003-741391	20030715

10/523,287

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC,
PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK
CN 1671686 A 20050921 CN 2003-818466 20030715
US 2005240030 A1 20051027 US 2005-523287 20050203
PRIORITY APPLN. INFO.: JP 2002-229204 A 20020806
WO 2003-JP8950 W 20030715

OTHER SOURCE(S): MARPAT 140:199199

AB This invention pertains to a method for producing N-monoalkyl-3-hydroxy-3-(2-thienyl)propanamines with general formula of I [where R = alkyl], which comprises reduction of II with NaBH₄ or Na(CN)H₃. For example, β-oxo-β-(2-thienyl)propanal sodium salt was treated with MeNH₂ in MeOH, followed by the addition of aqueous NaOH to give (Z)-N-methyl-3-oxo-3-(2-thienyl)-1-propanamine (74.8%). The propanamine was treated with NaBH₄ in PhMe in the presence of AcOH to afford the title compound N-methyl-3-hydroxy-3-(2-thienyl)-1-propanamine (75.0%). By the process, an N-monoalkyl-3-hydroxy-3-(2-thienyl)propanamine useful as an intermediate for various medicines can be industrially and easily produced at low cost.

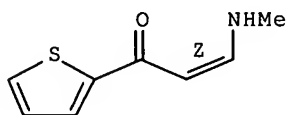
IT 663603-70-1P

(intermediate; preparation of (thienyl)propanamines via reduction reaction)

RN 663603-70-1 HCAPLUS

CN 2-Propen-1-one, 3-(methylamino)-1-(2-thienyl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



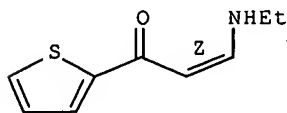
IT 663603-71-2 663603-72-3 663603-73-4

(preparation of (thienyl)propanamines via reduction reaction)

RN 663603-71-2 HCAPLUS

CN 2-Propen-1-one, 3-(ethylamino)-1-(2-thienyl)-, (2Z)- (9CI) (CA INDEX NAME)

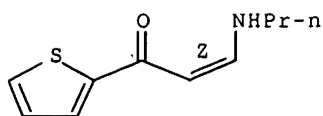
Double bond geometry as shown.



RN 663603-72-3 HCAPLUS

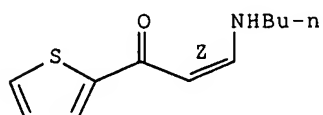
CN 2-Propen-1-one, 3-(propylamino)-1-(2-thienyl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 663603-73-4 HCAPLUS
 CN 2-Propen-1-one, 3-(butylamino)-1-(2-thienyl)-, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT 663603-70-1P
 (intermediate; preparation of (thienyl)propanamines via reduction reaction)
 IT 663603-71-2 663603-72-3 663603-73-4
 (preparation of (thienyl)propanamines via reduction reaction)
 IC ICM C07D333-20
 ICS C07D333-22
 CC 27-8 (Heterocyclic Compounds (One Hetero Atom))
 Section cross-reference(s): 45
 ST prepn thienyl propanamine redn
 IT Amines, reactions
 (monoalkyl; preparation of (thienyl)propanamines via reduction reaction)
 IT Reduction
 (preparation of (thienyl)propanamines via reduction reaction)
 IT 663603-70-1P
 (intermediate; preparation of (thienyl)propanamines via reduction reaction)
 IT 116539-56-1P
 (preparation of (thienyl)propanamines via reduction reaction)
 IT 74-89-5, Methylamine, reactions 75-04-7, Ethylamine, reactions
 107-10-8, Propylamine, reactions 109-73-9, Butylamine, reactions
 130371-57-2 663603-71-2 663603-72-3
 663603-73-4
 (preparation of (thienyl)propanamines via reduction reaction)
 IT 16940-66-2, Sodium borohydride 25895-60-7, Sodium cyanoborohydride
 (preparation of (thienyl)propanamines via reduction reaction)
 REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR
 THIS RECORD. ALL CITATIONS AVAILABLE IN THE
 RE FORMAT

L48 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 2
 ACCESSION NUMBER: 1996:35117 HCAPLUS Full-text
 DOCUMENT NUMBER: 124:201773
 TITLE: Preparation of N-substituted-hydroxylamines from
 α -aryloximes
 INVENTOR(S): Kagano, Hirokazu; Itsuda, Hiroshi;

Yamashita, Kazuyoshi; Nakano, Masahito; Kobayashi, Kazuyuki
 PATENT ASSIGNEE(S): Sumitomo Seika KK, Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07267912	A	19951017	JP 1994-60902	19940330
PRIORITY APPLN. INFO.:			JP 1994-60902	19940330

OTHER SOURCE(S): CASREACT 124:201773; MARPAT 124:201773

AB ACHRNHOH (A = Ph, naphthyl, thienyl, furyl, benzothienyl, benzofuryl; R = H, C1-4 alkyl), useful as intermediates for drugs, e.g. inflammation inhibitors, and agrochems., are prepared by isomerization of (E)-ACR:NOH in solvents in the presence of acids, followed by reduction of the resulting (Z)-ACR:NOH with Am·BH₃ [Am = di(C1-4 alkyl)amine, tri(C1-4 alkyl)amine, pyridine]. A mixture of MeOH and 191.2 g (E)-2-acetylbenzo[b]thiophene oxime (I, preparation given, E/Z ratio = 98/2) and MeOH was bubbled with HCl at 15-25° for 2 h to give 187.3 g (Z)-I. Pyridine-BH₃ was gradually added to a mixture of MeOH and (Z)-I at 0-5° over 1 h, subsequently a MeOH solution of HCl was added dropwise to the reaction mixture at 0-5° over 3 h, and the reaction mixture was further stirred at 0-5° for 2 h to give 94.1% [based on (E)-I] 1-(benzo[b]thien-2-yl)ethylhydroxylamine.

IC ICM C07C239-08

ICS C07B035-08; C07D307-52; C07D307-81; C07D333-20; C07D333-58

ICA C07B061-00

CC 25-5 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)

Section cross-reference(s): 1, 27

ST hydroximinomethylarene isomerization redn amine borane;
 arylmethylhydroxylamine prepn intermediate drug agrochem;
 hydroxylamine arylmethyl intermediate drug agrochem

IT Inflammation inhibitors

(preparation of N-(1-arylalkyl)hydroxylamines as intermediates for inflammation inhibitors)

IT 7647-01-0, Hydrogen chloride, uses

(preparation of N-(1-arylalkyl)hydroxylamines by isomerization of (E)-α-aryloximes followed by reduction of the resulting (Z)-oximes with amine-borane complexes)

IT 622-32-2P 3717-23-5P 50314-86-8P

(preparation of N-(1-arylalkyl)hydroxylamines by isomerization of (E)-α-aryloximes followed by reduction of the resulting (Z)-oximes with amine-borane complexes)

IT 622-30-0P 2912-98-3P 51307-68-7P 118564-89-9P

(preparation of N-(1-arylalkyl)hydroxylamines by isomerization of (E)-α-aryloximes followed by reduction of the resulting (Z)-oximes with amine-borane complexes)

IT 64-17-5, Ethanol, uses 67-56-1, Methanol, uses 67-63-0,
 Isopropanol, uses

(preparation of N-(1-arylalkyl)hydroxylamines by isomerization of (E)-α-aryloximes followed by reduction of the resulting (Z)-oximes with amine-borane complexes)

IT 74-94-2, Dimethylamine, compound with borane (1:1) 110-51-0, Pyridine,
 compound with borane (1:1) 622-31-1 3717-24-6 10341-75-0
 22720-75-8, 2-Acetylbenzo[b]thiophene

(preparation of N-(1-arylalkyl)hydroxylamines by isomerization of
(E)- α -aryloximes followed by reduction of the resulting
(Z)-oximes with amine-borane complexes)

IT 147396-07-4P 147396-08-5P

(preparation of N-(1-arylalkyl)hydroxylamines by isomerization of
(E)- α -aryloximes followed by reduction of the resulting
(Z)-oximes with amine-borane complexes)

L48 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 1995:389123 HCAPLUS Full-text

DOCUMENT NUMBER: 122:249375

TITLE: Synergic extraction equilibrium of Mn(II) with
4,4,4-trifluoro-1-(2-thienyl
)-1,3-butanedione and neutral multidentate
ligands, such as terpyridine and
tetraphenyldiphosphane dioxide

AUTHOR(S): Satake, Saeko; Tsukahara, Satoshi;
Suzuki, Nobuo

CORPORATE SOURCE: Fac. Sci., Tohoku Univ., Sendai, 980-77, Japan

SOURCE: Bulletin of the Chemical Society of Japan (1995),
68(2), 590-3

CODEN: BCSJA8; ISSN: 0009-2673

PUBLISHER: Nippon Kagakkai

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The extraction equilibrium of Mn(II) was studied in novel synergic extraction systems using 4,4,4-trifluoro-1-(2-thienyl)-1,3-butanedione (Htta) and neutral multidentate ligands, such as 2,2':6',2''-terpyridine (terpy) and tetraphenyldiphosphane dioxide (tpdpo), into benzene. No oxidation of Mn(II) and large synergic effect were observed both in the Htta-terpy and Htta-tpdpo systems; a quant. extraction of Mn(II) was done, which was not attained with Htta only. Mn(II) was extracted as Mn(tta)₂(terpy) in the Htta-terpy system, where only two nitrogen atoms of terpy coordinate to Mn. In the Htta-tpdpo system, two species, i.e. Mn(tta)₂(tpdpo) and Mn(tta)₂(tpdpo)₂, formed in the benzene phase, where tpdpo functioned as a unidentate ligand. The adduct formation consts. (β s) and the synergic extraction consts. ($K_{ex,s}$) were obtained and compared with those of other related compds.

CC 68-2 (Phase Equilibria, Chemical Equilibria, and Solutions)

ST manganese extn trifluorothienylbutanedione terpyridine
tetraphenyldiphosphane dioxide; trifluoro thienyl
butanedione extn manganese

IT 326-91-0 1054-59-7 1148-79-4, 2,2':6',2''-Terpyridine
16397-91-4, Manganese(2+), properties

(synergic extraction of Mn(II) with 4,4,4-trifluoro-1-(2-thienyl
)-1,3-butanedione and multidentate ligands as terpyridine and
tetraphenyldiphosphane dioxide)

IT 71-43-2, Benzene, properties

(synergic extraction of Mn(II) with 4,4,4-trifluoro-1-(2-thienyl
)-1,3-butanedione and multidentate ligands as terpyridine and
tetraphenyldiphosphane dioxide in benzene)

L48 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN DUPLICATE 4

ACCESSION NUMBER: 1994:16628 HCAPLUS Full-text

DOCUMENT NUMBER: 120:16628

TITLE: Synergic extraction of rare earths(III) with
4,4,4-trifluoro-1-(2-thienyl
)-1,3-butanedione and nitrogen-involving
polydentate ligands as diethylenetriamine and
triethylenetetramine

AUTHOR(S): Satake, Saeko; Tsukahara, Satoshi;
Suzuki, Nobuo

CORPORATE SOURCE: Fac. Sci., Tohoku Univ., Sendai, 980, Japan

SOURCE: Bulletin of the Chemical Society of Japan (1993),
66(9), 2552-7
CODEN: BCSJA8; ISSN: 0009-2673

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The synergic extraction of rare earths(III), i.e., La, Sm, Tb, and Lu, using 4,4,4-trifluoro-1-(2-thienyl)-1,3-butanedione (Htta) and a nitrogen-involving neutral polydentate ligand, such as diethylenetriamine (dien) or triethylenetetramine (trien), was studied between benzene and aqueous phases. The synergic enhancement of this extraction system was attributed to the formation of an adduct, RE(tta)3dien or RE(tta)3trien. The adduct formation consts. (β S,1) were determined. Although β S,1 decreases with the atomic number of RE(III), the detailed tendency of β S,1 depends on the number of nitrogen atoms of the polydentate ligands.

CC 68-2 (Phase Equilibriums, Chemical Equilibriums, and Solutions)

ST rare earth extn fluorothenylbutanedione diethylenetriamine triethylenetetramine

IT Rare earth metals, properties
(extraction of, with fluorothenylbutanedione and nitrogen-involving polydentate)

IT Atomic number
(of rare earth metals, stability of complexes with fluorothenylbutanedione and nitrogen-involving polydentate ligands in relation to)

IT Rare earth metals, compounds
(complexes, with fluorothenylbutanedione and nitrogen-involving polydentate ligands, formation consts. of)

IT 111-40-0, Diethylenetriamine 112-24-3, Triethylenetetramine
(extraction by fluorothenylbutanedione and, of rare earth metals)

IT 326-91-0
(extraction by, of rare earth metals, synergic effect of nitrogen-involving polydentate ligands on)

IT 7439-91-0, Lanthanum, properties 7439-94-3, Lutetium, properties
7440-19-9, Samarium, properties 7440-27-9, Terbium, properties
(extraction of, with fluorothenylbutanedione and nitrogen-involving polydentate)

IT 111-40-0D, Diethylenetriamine, rare earth metal complexes 112-24-3D, Triethylenetetramine, rare earth metal complexes 326-91-0D, rare earth metal complexes 7439-91-0D, Lanthanum, complexes with fluorothenylbutanedione and nitrogen-involving polydentate ligands 7439-94-3D, Lutetium, complexes with fluorothenylbutanedione and nitrogen-involving polydentate ligands 7440-19-9D, Samarium, complexes with fluorothenylbutanedione and nitrogen-involving polydentate ligands 7440-27-9D, Terbium, complexes with fluorothenylbutanedione and nitrogen-involving polydentate ligands (formation consts. of)

L48 ANSWER 5 OF 6 SCISEARCH COPYRIGHT (c) 2007 The Thomson Corporation on STN

ACCESSION NUMBER: 1999:220630 SCISEARCH Full-text

THE GENUINE ARTICLE: 176AT

TITLE: Synergistic extraction equilibrium of lanthanoids(III) with 2-thenoyltrifluoroacetone and nitrogen-containing bidentate ligands, ethylenediamine derivatives

AUTHOR: Satake S; Tsukahara S (Reprint); Suzuki N

CORPORATE SOURCE: Osaka Univ, Grad Sch Sci, Dept Chem, Osaka 5600043, Japan (Reprint); Tohoku Univ, Fac Sci, Dept Chem, Aoba

Ku, Sendai, Miyagi 9808578, Japan
 COUNTRY OF AUTHOR: Japan
 SOURCE: SOLVENT EXTRACTION AND ION EXCHANGE, (1999) Vol. 17,
 No. 2, pp. 259-275.
 ISSN: 0736-6299.
 PUBLISHER: MARCEL DEKKER INC, 270 MADISON AVE, NEW YORK, NY 10016
 USA.
 DOCUMENT TYPE: Article; Journal
 LANGUAGE: English
 REFERENCE COUNT: 19
 ENTRY DATE: Entered STN: 1999
 Last Updated on STN: 1999

ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS

AB The synergistic extraction of lanthanoids(III), Ln(III), i.e., La, Sm, Tb, Tm and Lu, using 2-thenoyltrifluoroacetone (Htta) sind nitrogen-containing neutral bidentate ligands (S), i.e., three ethylenedi-amine derivatives, such as N,N'-dimethylethylenediamine (dmen), N,N'-diethylethylenediamine (deen) and cis-1,2- cyclohexanediamine (chda), was studied in the benzene and aqueous system. The synergistic enhancement in these extraction systems was mainly attributed to the formation of an adduct, Ln(tta)(3)S, in the benzene phase. The variation of the adduct formation constants ((beta(S,1)) was discussed with the basicity of ligands and structure hindrance around the metal ion.

CC CHEMISTRY, MULTIDISCIPLINARY

STP KeyWords Plus (R): CROWN-ETHERS; 4,4,4-TRIFLUORO-1-(2-THIENYL)-1,3-BUTANEDIONE; THENOYLTRIFLUOROACETONE; 1,10-PHENANTHROLINE; LANTHANIDES; SEPARATION; AMINES; ION
 ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS

L48 ANSWER 6 OF 6 SCISEARCH COPYRIGHT (c) 2007 The Thomson Corporation
 on STN

ACCESSION NUMBER: 1997:834989 SCISEARCH Full-text

THE GENUINE ARTICLE: YF152

TITLE: Evaluation of liquid-liquid partition coefficients of multidentate amines by scaled particle theory

AUTHOR: Tsukahara S (Reprint); Satake S; Suzuki N

CORPORATE SOURCE: TOHOKU UNIV, FAC SCI, DEPT CHEM, AOBA KU, SENDAI, MIYAGI 98077, JAPAN

COUNTRY OF AUTHOR: JAPAN

SOURCE: SOLVENT EXTRACTION AND ION EXCHANGE, (1997) Vol. 15,
 No. 6, pp. 961-973.
 ISSN: 0736-6299.

PUBLISHER: MARCEL DEKKER INC, 270 MADISON AVE, NEW YORK, NY 10016

DOCUMENT TYPE: Article; Journal

FILE SEGMENT: PHYS

LANGUAGE: English

REFERENCE COUNT: 32

ENTRY DATE: Entered STN: 1997

Last Updated on STN: 1997

ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS

AB For some aliphatic and aromatic bidentate amines, e.g., ethylenediamine derivatives, which were used in the synergistic extraction system, the liquid-liquid partition coefficients (P) were measured between benzene and water phases and discussed together with other aliphatic and aromatic multidentate amines by using the scaled particle theory (SPT). The contributions of three kinds of Gibbs energies, i.e., the cavity formation energy $\langle (G)_{\text{over bar}}(c) \rangle$, the dispersion energy $\langle (G)_{\text{over bar}}(\text{dis}) \rangle$ and hydrogen-bonding energy $\langle (G)_{\text{over bar}}(h) \rangle$, to the P values were successfully evaluated. The main factor to determine the P value was

10/523,287

$\Delta G^{\circ}_{\text{h}}$ (10-55 kJ/mol) in the aqueous phase, but the contributions of $\Delta G^{\circ}_{\text{c}}$ (6-15 kJ/mol) and $\Delta G^{\circ}_{\text{dis}}$ (6-28 kJ/mol) were not negligibly small.

CC . CHEMISTRY

STP KeyWords Plus (R): SYNERGIC EXTRACTION; WATER SYSTEM; COMPLEXES; THENOYLTRIFLUOROACETONE; NITROGEN; ION; 4,4,4-TRIFLUORO-1-(2-THIENYL)-1,3-BUTANEDIONE; LANTHANIDS(III); LIGANDS
ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS

=> d his nofile

(FILE 'HOME' ENTERED AT 13:34:56 ON 09 JAN 2007)

FILE 'HCAPLUS' ENTERED AT 13:35:04 ON 09 JAN 2007

L1 1 SEA ABB=ON PLU=ON US20050240030/PN

FILE 'REGISTRY' ENTERED AT 13:35:21 ON 09 JAN 2007

L2 12 SEA ABB=ON PLU=ON (107-10-8/BI OR 109-73-9/BI OR
116539-56-1/BI OR 130371-57-2/BI OR 16940-66-2/BI OR
25895-60-7/BI OR 663603-70-1/BI OR 663603-71-2/BI OR
663603-72-3/BI OR 663603-73-4/BI OR 74-89-5/BI OR 75-04-7/B
I)

L3 STR

L4 50 SEA SSS SAM L3

L5 STR L3

L6 50 SEA SSS SAM L5

L8 50 SEA SSS SAM L5

L9 STR L5

L10 50 SEA SSS SAM L9

L11 2111 SEA SSS FUL L9

L12 5 SEA ABB=ON PLU=ON L2 AND L11

L13 7 SEA ABB=ON PLU=ON L2 NOT L12

L14 STR L5

L15 20 SEA SUB=L11 SSS SAM L14

L16 496 SEA SUB=L11 SSS FUL L14

SAV L11 LAM287/A

L17 0 SEA ABB=ON PLU=ON L16 AND MEDLINE/LC

L18 0 SEA ABB=ON PLU=ON L16 AND EMBASE/LC

L19 0 SEA ABB=ON PLU=ON L16 AND BIOSIS/LC

L20 0 SEA ABB=ON PLU=ON L16 AND DRUGU/LC

FILE 'HCAPLUS' ENTERED AT 13:56:36 ON 09 JAN 2007

L21 174 SEA ABB=ON PLU=ON L16

L22 117 SEA ABB=ON PLU=ON L21(L)PREP/RL

FILE 'REGISTRY' ENTERED AT 13:58:03 ON 09 JAN 2007

L23 STR L14

L24 3 SEA SUB=L16 SSS SAM L23

L25 3 SEA SUB=L11 SSS SAM L23

L26 54 SEA SUB=L11 SSS FUL L23

SAV L26 LAM287A/A

FILE 'HCAPLUS' ENTERED AT 14:04:31 ON 09 JAN 2007

L27 40 SEA ABB=ON PLU=ON L26

L28 36 SEA ABB=ON PLU=ON L27 AND PREP/RL

D 36 IBIB HITSTR

D L1 IBIB

L29 78 SEA ABB=ON PLU=ON KOGAMI, K?/AU

L30 5 SEA ABB=ON PLU=ON HAYASHIZAKA, N?/AU

L31 421 SEA ABB=ON PLU=ON SATAKE, S?/AU

L32 2 SEA ABB=ON PLU=ON FUSEYA, I?/AU

L33 37 SEA ABB=ON PLU=ON KAGANO, H?/AU

L34 1 SEA ABB=ON PLU=ON L29 AND L30 AND L31 AND L32 AND L33

L35 1 SEA ABB=ON PLU=ON ((L29 OR L30 OR L31 OR L32 OR L33))
AND L27

L36 4 SEA ABB=ON PLU=ON ((L29 OR L30 OR L31 OR L32 OR L33))
AND THIENYL?

10/523,287

L37 4 SEA ABB=ON PLU=ON L34 OR L35 OR L36
L38 35 SEA ABB=ON PLU=ON L28 NOT L37

FILE 'EMBASE, BIOSIS, DRUGU, MEDLINE, WPIX, SCISEARCH, LIFESCI'
ENTERED AT 14:09:04 ON 09 JAN 2007

L39 33 SEA ABB=ON PLU=ON KOGAMI, K?/AU
L40 9 SEA ABB=ON PLU=ON HAYASHIZAKA, N?/AU
L41 1500 SEA ABB=ON PLU=ON SATAKE, S?/AU
L42 3 SEA ABB=ON PLU=ON FUSEYA, I?/AU
L43 43 SEA ABB=ON PLU=ON KAGANO, H?/AU
L44 6 SEA ABB=ON PLU=ON ((L39 OR L40 OR L41 OR L42 OR L43))
AND THIENYL?

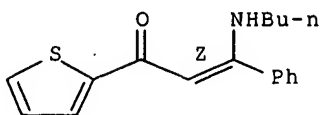
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L45 3 SEA SSS SAM L23
L46 23 SEA SSS FUL L23
L47 18 SEA ABB=ON PLU=ON L46 NOT L27

FILE 'HCAPLUS, WPIX, SCISEARCH' ENTERED AT 14:15:34 ON 09 JAN 2007

L48 6 DUP REM L37 L44 (4 DUPLICATES REMOVED)
ANSWERS '1-4' FROM FILE HCAPLUS
ANSWERS '5-6' FROM FILE SCISEARCH

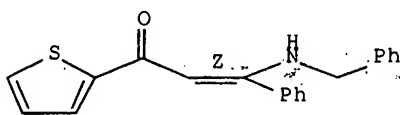
Double bond geometry as shown.



RN 658699-77-5 CAPLUS

CN 2-Propen-1-one, 3-phenyl-3-[(phenylmethyl)amino]-1-(2-thienyl)-, (2Z)-
(9CI) (CA INDEX NAME)

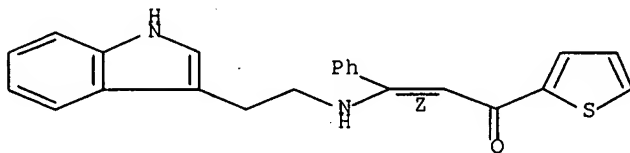
Double bond geometry as shown.



RN 658699-78-6 CAPLUS

CN 2-Propen-1-one, 3-[[2-(1H-indol-3-yl)ethyl]amino]-3-phenyl-1-(2-thienyl)-,
(2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L83 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:730252 CAPLUS Full-text

DOCUMENT NUMBER: 136:118356

TITLE: Efficient synthesis of functionalized 2H-thiopyrans
via hetero-Diels-Alder reactions of an enamino thione
with electrophilic olefins

AUTHOR(S): Bogdanowicz-Szwed, Krystyna; Budzowski, Artur

CORPORATE SOURCE: Department of Organic Chemistry, Jagiellonian
University, Krakow, PL-30060, Pol.

SOURCE: Monatshefte fuer Chemie (2001), 132(8), 947-957
CODEN: MOCMB7; ISSN: 0026-9247

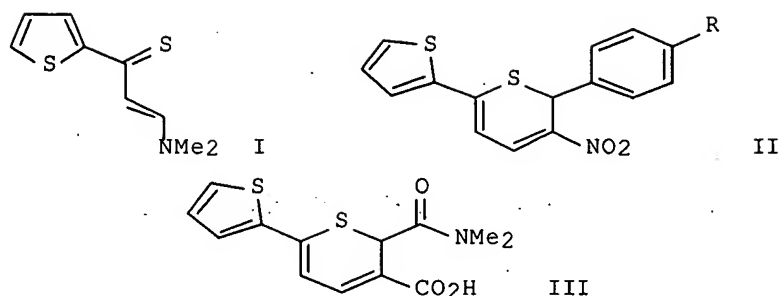
PUBLISHER: Springer-Verlag Wien

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 136:118356

GI



AB The hetero-Diels-Alder reaction of 3-(dimethylamino)-1-(2-thienyl)-2-propene-1-thione (I) (the diene) with β -nitrostyrenes, maleic acid, and fumaric acid (the dienophiles) yielded 3,4-dihydro-4-(dimethylamino)-2H-thiopyrans. Treatment of some of the cycloadducts with acetic acid caused elimination of dimethylamine to yield stable 2H-thiopyrans, e.g. thienyl(nitro)thiopyrans II (R = H, Me, MeO). Reaction of I with maleic anhydride gave a cycloadduct which underwent spontaneous rearrangement to give the thiopyrancarboxamide III. Cycloaddns. of I to maleimide, N-phenylmaleimide, maleic acid monoanilide, di-Et maleate, di-Et fumarate, and 5H-furan-2-one in the presence of acetic anhydride were followed by elimination of dimethylamine to give stable 2H-thiopyrans.

CC 27-15 (Heterocyclic Compounds (One Hetero Atom))

ST regioselective **stereoselective** hetero Diels Alder

thienyldimethylaminopropenethione; thienylnitrothiopyran prepn; thiopyran prepn; hetero Diels Alder enamino thione electrophilic olefin; thienyldimethylaminopropenethione prepn elimination dimethylamine; thienylthiopyran prepn; nitrostyrene Diels Alder thienyldimethylaminopropenethione; thiophene nitrovinyl Diels Alder thienyldimethylaminopropenethione; maleate fumarate Diels Alder thienyldimethylaminopropenethione; maleic anhydride Diels Alder thienyldimethylaminopropenethione; maleimide Diels Alder thienyldimethylaminopropenethione; furanone Diels Alder thienyldimethylaminopropenethione

IT Alkenes, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)

(electron-deficient; preparation of thiopyrans via regio-/**stereoselective** hetero-Diels-Alder reactions of (dimethylamino)thienylpropenethione with electrophilic olefins)

IT Diels-Alder reaction

(hetero, **stereoselective**, regioselective; preparation of thiopyrans via regio-/**stereoselective** hetero-Diels-Alder reactions of (dimethylamino)thienylpropenethione with electrophilic olefins)

IT Heterocyclization

Regiochemistry

Stereoselective synthesis

(preparation of thiopyrans via regio-/**stereoselective** hetero-Diels-Alder reactions of (dimethylamino)thienylpropenethione with electrophilic olefins)

IT 108-31-6, Maleic anhydride, reactions 110-16-7, Maleic acid, reactions 110-17-8, Fumaric acid, reactions 141-05-9, Diethyl malonate 497-23-4, 2(5H)-Furanone 541-59-3, Maleimide 555-59-9, Maleic acid monoanilide

623-91-6, Diethyl fumarate 941-69-5, N-Phenylmaleimide 5153-67-3,
trans- β -Nitrostyrene 5153-68-4 5153-70-8 5576-97-6 5576-98-7
34312-77-1 154321-55-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of thiopyrans via regio-/stereoselective
hetero-Diels-Alder reactions of (dimethylamino)thienylpropenethione
with electrophilic olefins)

IT 391257-86-6P 391257-87-7P 391257-88-8P 391257-90-2P 391258-03-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation of thiopyrans via regio-/stereoselective
hetero-Diels-Alder reactions of (dimethylamino)thienylpropenethione
with electrophilic olefins)

IT 391257-92-4P 391257-94-6P 391257-96-8P 391257-98-0P 391258-00-7P
391258-05-2P 391258-07-4P 391258-09-6P 391258-11-0P 391258-12-1P
391258-13-2P 391258-15-4P 391258-16-5P 391258-18-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of thiopyrans via regio-/stereoselective
hetero-Diels-Alder reactions of (dimethylamino)thienylpropenethione
with electrophilic olefins)

IT 154321-55-8

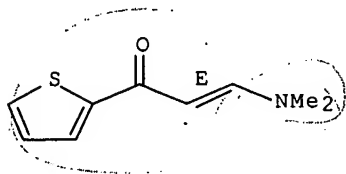
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of thiopyrans via regio-/stereoselective
hetero-Diels-Alder reactions of (dimethylamino)thienylpropenethione
with electrophilic olefins)

RN 154321-55-8 CAPLUS

CN 2-Propen-1-one, 3-(dimethylamino)-1-(2-thienyl)-, (2E)- (9CI) (CA INDEX
NAME)

Double bond geometry as shown.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L83 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:136780 CAPLUS Full-text

DOCUMENT NUMBER: 132:308302

TITLE: Diels-Alder in heterocyclic synthesis: a novel
synthesis of cycloalkanopyridazinimine,
1,7-alkanothienopyridazines and 1,8-
alkanophthalazines: new ring system

AUTHOR(S): Al-Omran, Fatima; Al-Awadhi, Nouria; Elassar,
Abdelzاهر A.; El-Khair, Adel A.

CORPORATE SOURCE: Chem. Dep., Fac. Sci., Kuwait Univ., Kuwait, 13060,
Kuwait

SOURCE: Journal of Chemical Research, Synopses (2000), (1),
20-21, 237-258

CODEN: JRPSDC; ISSN: 0308-2342

PUBLISHER: Science Reviews Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English